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=> fil cap
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FILE COVERS 1907 - 27 Dec 2007  VOL 147 ISS 26
FILE LAST UPDATED: 26 Dec 2007  (20071226/ED)

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=> d que 126
L21                 STR
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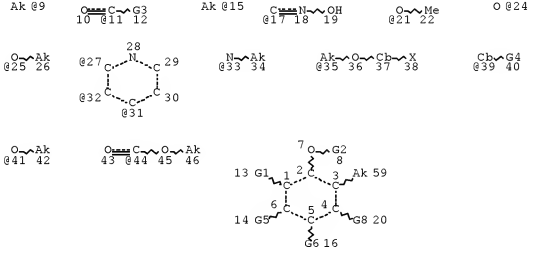


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VAR G4=41/44
VAR G5=H/15
VAR G6=CHO/COOH/17
VAR G8=OH/21
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CONNECT IS E1  RC AT  24
CONNECT IS E1  RC AT  26
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CONNECT IS E2  RC AT  35
CONNECT IS E1  RC AT  42
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GGCAT   IS MCY  UNS  AT  37
GGCAT   IS MCY  UNS  AT  39
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS E6 C  AT  37
ECOUNT  IS E6 C  AT  39

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  46

STEREO ATTRIBUTES: NONE
L22             STR
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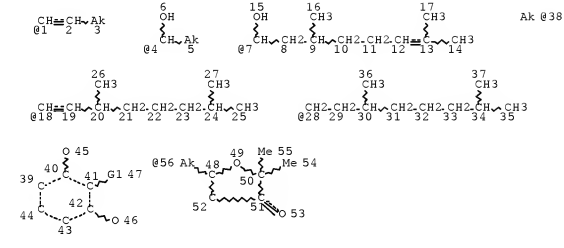


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CONNECT IS E2  RC AT  56
DEFAULT MLEVEL IS ATOM
GGCAT   IS LIN  SAT  AT   3
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DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  56

STEREO ATTRIBUTES: NONE
L24           43 SEA FILE=REGISTRY SSS FUL L21 AND L22
L25           81 SEA FILE=CAPLUS ABB=ON  PLU=ON  L24
L26           73 SEA FILE=CAPLUS ABB=ON  PLU=ON  L25 AND (PY<2004 OR PRY<2004
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OR AY<2004)

=> d l26 ibib abs hitstr tot

L26 ANSWER 1 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1256641 CAPLUS Full-text
DOCUMENT NUMBER: 146:50262
TITLE: Antibiotic kit and compositions
INVENTOR(S): Friedman, Doron; Besonov, Alex; Tamarkin, Dov; Eini, Meir
PATENT ASSIGNEE(S): Foamix Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 31pp., Cont.-in-part of U.S. Ser. No. 532,618.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 21
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006269485	A1	20061130	US 2006-448490	20060607 <--
WO 2004037225	A2	20040506	WO 2003-IB5527	20031024 <--
WO 2004037225	A3	20041229		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005069566	A1	20050331	US 2004-911367	20040804 <--
US 2006140984	A1	20060629	US 2005-532618	20051222 <--
WO 2007099396	A2	20070907	WO 2006-IB3975	20060607
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007292355	A1	20071220	US 2007-732547	20070404 <--

PRIORITY APPLN. INFO.:			
US 2002-429546P	P	20021129	<--
US 2003-492385P	P	20030804	<--
WO 2003-IB5527	W	20031024	<--
US 2004-911367	A2	20040804	
US 2005-688244P	P	20050607	
US 2005-532618	A2	20051222	
IL 2002-152486	A	20021025	<--
US 2003-497648P	P	20030825	<--
US 2003-530015P	P	20031216	<--

US 2004-835505	A2	20040428
US 2004-922358	A2	20040820
US 2005-41921	A2	20050124
US 2006-789186P	P	20060404
US 2006-448490	A2	20060607
US 2006-861620P	P	20061129
US 2007-880434P	P	20070112

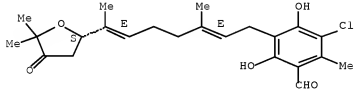
AB The present invention relates to a therapeutic kit to provide an effective dosage of an antibiotic including an aerosol packaging assembly. The assembly includes a container accommodating a pressurized product; and an outlet capable of releasing the pressurized product as a foam, wherein the pressurized product comprises a foamable composition of an antibiotic; at least one organic carrier selected from the group consisting of a hydrophobic organic carrier, an organic polar solvent, an emollient and mixts. at 2-50%, a surfactant, 0.01-5% by weight of at least one polymeric additive selected from the group consisting of a bioadhesive agent, a gelling agent, a film forming agent and a phase change agent, water; and liquefied or compressed gas propellant at 3-25% by weight of the total composition

IT 38462-04-3, Ascofuranone
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antibiotic kit and compns.)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 2 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:371202 CAPLUS Full-text
DOCUMENT NUMBER: 142:430014
TITLE: Preparation of phenol derivatives as anti-trypanosoma agents
INVENTOR(S): Saimoto, Hiroyuki; Shigemasa, Yoshihiro; Kita, Kiyoshi; Yabu, Yoshisada; Hosokawa, Tomoyoshi; Yamamoto, Masaichi
PATENT ASSIGNEE(S): Arigen, Inc., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037759	A1	20050428	WO 2003-JP13310	20031017 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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AU 2003273040 A1 20050505 AU 2003-273040 20031017 <--

AU 2004282055 A1 20050428 AU 2004-282055 20041018 <--

WO 2005037760 A1 20050428 WO 2004-JP15390 20041018 <--

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EP 1681280 A1 20060719 EP 2004-792559 20041018 <--

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CN 1882523 A 20061220 CN 2004-80033945 20041018 <--

IN 2006DN02774 A 20070803 IN 2006-DN2774 20060517 <--

US 2007208078 A1 20070906 US 2006-575653 20061213 <--

PRIORITY APPLN. INFO.: WO 2003-JP13310 A 20031017 <--

WO 2003-JP313310 A 20031017 <--

WO 2004-JP15390 W 20041018

OTHER SOURCE(S): MARPAT 142:430014

GI

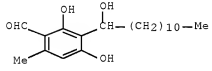
I

AB The title compds. I [X represents hydrogen or halogeno; R1 represents hydrogen, etc.; R2 represents hydrogen or C1-4 alkyl; R3 represents CHO or COOH; and R4 represents (CH2)mCH3 (wherein m is an integer of 1 to 14), etc.] are prepared Thus, 2,4-dihydroxy-3-(1-hydroxydodecyl)-6- methylbenzaldehyde was prepared from 2,4-dihydroxy-6-methylbenzaldehyde and dodecanal. Compds. of this invention in vitro showed IC50 values of 0.3 nM to 120 nM in an anti-trypanosoma assay.

IT 850732-56-8P 850732-57-9P 850732-58-0P
850732-59-1P 850732-60-4P 850732-61-5P
850732-62-6P 850732-63-7P 850732-64-8P
850732-65-9P 850732-66-0P 850732-67-1P

850732-68-2P 850732-69-3P 850732-70-6P
850732-71-7P 850732-72-8P 850732-73-9P
850732-74-0P 850732-75-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenol derivs. as anti-trypanosoma agents)

RN 850732-56-8 CAPLUS
CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxydodecyl)-6-methyl- (CA INDEX NAME)



RN 850732-57-9 CAPLUS
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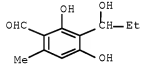

RN 850732-58-0 CAPLUS
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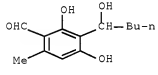
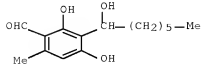
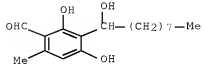


RN 850732-59-1 CAPLUS
CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxyheptyl)-6-methyl- (CA INDEX NAME)



RN 850732-60-4 CAPLUS
CN Benzaldehyde, 2,4-dihydroxy-3-(1-hydroxynonyl)-6-methyl- (CA INDEX NAME)



RN 850732-61-5 CAPLUS
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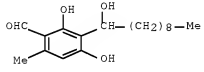

RN 850732-62-6 CAPLUS
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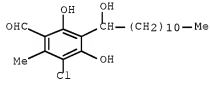

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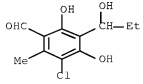

RN 850732-64-8 CAPLUS
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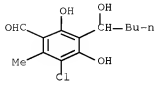
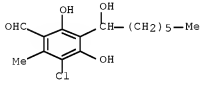


RN 850732-65-9 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxyheptyl)-2-methyl- (CA INDEX NAME)



RN 850732-66-0 CAPLUS
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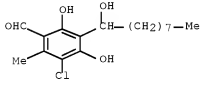
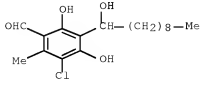
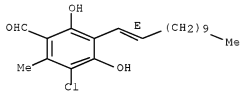


RN 850732-67-1 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-5-(1-hydroxydecyl)-2-methyl- (CA INDEX NAME)



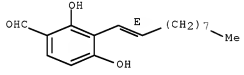
RN 850732-68-2 CAPLUS
CN Benzaldehyde, 3-chloro-5-(1E)-1-dodecenyl-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



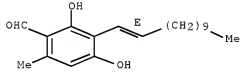
RN 850732-69-3 CAPLUS
CN Benzaldehyde, 3-(1E)-1-decenyl-2,4-dihydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



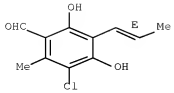
RN 850732-70-6 CAPLUS
CN Benzaldehyde, 3-(1E)-1-dodecenyl-2,4-dihydroxy-6-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



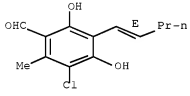
RN 850732-71-7 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-(1E)-1-propenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850732-72-8 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-(1E)-1-pentenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850732-73-9 CAPLUS
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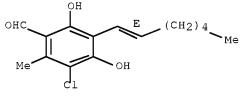
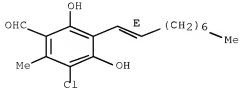
Double bond geometry as shown.



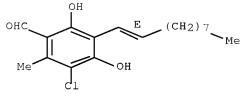
RN 850732-74-0 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-(1E)-1-nonenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 850732-75-1 CAPLUS
CN Benzaldehyde, 3-chloro-5-(1E)-1-decenyl-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:362051 CAPLUS Full-text
DOCUMENT NUMBER: 142:423803
TITLE: Prophylactic and therapeutic agents for cryptosporidiosis containing ascochlorins, ascofuranones, or dehydroascofuranones
INVENTOR(S): Kita, Kiyoshi; Yabu, Yoshisada; Nagai, Kazuo; Minagawa, Nobuko; Hosokawa, Tomoyoshi; Suzuki, Takashi; Ota, Nobuo
PATENT ASSIGNEE(S): Arigen, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005112755	A	20050428	JP 2003-347395	20031006 <--
PRIORITY APPLN. INFO.:			JP 2003-347395	20031006 <--

OTHER SOURCE(S): MARPAT 142:423803
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

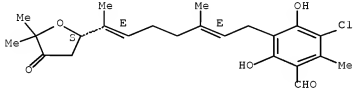
AB The agents contain ≥1 selected from ascochlorins I [R1 = CHO, CO2H; R2 = H, (CnH2n)R' (n = 1-5; R' = H, CO2R'' on any C atom of CnH2n; R'' = H, C1-3 alkyl), COR''' [R''' = pyridyl, c1-3 alkylamino, (halophenoxy)alkyl, C1-3 alkyl-Ph, (C1-3 alkoxycarbonyl)phenyl]], ascofuranones II , and dehydroascofuranones III, which inhibit cyanide-resistant quinol oxidase of Cryptosporidium. Thus, IC50 of ascofuranone against Cryptosporidium recombinant quinol oxidase was 0.3 nM. Tablets containing ascofuranone were also also formulated.

IT 28462-04-3, Ascofuranone 169564-42-6, Dehydroascofuranone 611217-45-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(prophylactic and therapeutic agents for cryptosporidiosis containing ascochlorins, ascofuranones, or dehydroascofuranones as cyanide-resistant quinol oxidase inhibitors)

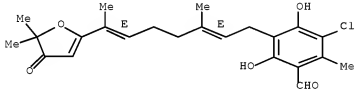
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



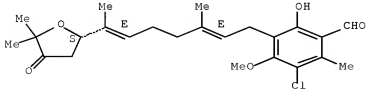
RN 169564-43-6 CAPLUS
CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 611217-45-9 CAPLUS
CN Benzaldehyde, 3-chloro-6-hydroxy-4-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

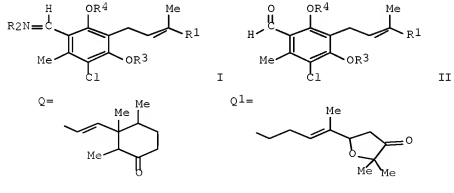


L26 ANSWER 4 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:718503 CAPLUS Full-text
DOCUMENT NUMBER: 141:225837
TITLE: Preparation of ascochlorin-amino acids Schiff bases or its analogs as novel transcriptional factor and process for producing the same and use thereof
INVENTOR(S): Kitahara, Takeshi; Watanabe, Hidenori; Ando, Kunio
PATENT ASSIGNEE(S): NRL Pharma, Inc., Japan
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074236	A1	20040902	WO 2004-JP2110	20040224 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2516698	A1	20040902	CA 2004-2516698	20040224 <--
EP 1616856	A1	20060118	EP 2004-714032	20040224 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006247307	A1	20061102	US 2005-546854	20050824
PRIORITY APPLN. INFO.:			JP 2003-92682 A	20030224 <--
			WO 2004-JP2110 W	20040224

OTHER SOURCE(S): MARPAT 141:225837
GI



AB Novel imino compds., i.e. 3-prenylbenzaldehyde-amino acid Schiff base (I) [R1 = Q, Q1; R2 = (CH2)nCHR5R6; n = 0-6; R5 = H, NH2, mono- or di(C1-6 alkyl)amino, phenyl-C1-6 alkyl; R6 = CO2H, CONH2, (un)substituted C1-6 alkoxycarbonyl; R3, R4 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-

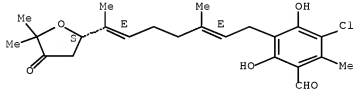
6 alkynyl, or C3-8 cycloalkyl, acyl, aryl, CO2H] are synthesized by mixing and reacting ascochlorin, its analogs or its derivs. (II; R1-R3 = same as above) with amino acids having a primary amino group of formula R5R6CH(CH2)nNH2 (R5, R6 = same as above) in the presence/absence of a basic catalyst. The novel imino compds. I thus synthesized are ligands which activate nuclear receptor superfamily such as retinoid orphan receptor (RXR), peroxisome proliferator activated receptor (PPAR) and steroid receptor (PXR) and show an effect of promoting the transcription of a drug-metabolizing enzyme CYP7A1. They have therapeutic effects on diseases such as life style-related diseases, diabetes, arteriosclerosis, multiple risk factor syndrome, myxedema, hypertension, or chronic inflammation. They are useful for the preventives and/or therapeutic agents for restenosis of arterial cavity enlarged by balloon catheter or stent or as serum cholesterol-lowering agents or adhesion promoters for adhering transplanted cells or tissues derived by differentiated induction of stem cells in a recipient. Thus, when a feed containing 0.025-0.1% compound (III) was fed to obese diabetic mice for 20 days, the excretion of sugar in urine was effectively reduced.

IT 38462-04-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ascochlorin Schiff bases or its analogs as transcriptional factor by condensation of ascochlorin, analogs, or derivs. thereof with amino acids)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:677762 CAPLUS Full-text
DOCUMENT NUMBER: 141:167741
TITLE: Indole alkaloids as enhancers for antiprotozoal activity of ascofuranone, their compositions and kits, and treatment of protozoan diseases with them
INVENTOR(S): Kita, Kiyoshi; Yabu, Yoshisada; Nagai, Kazuo; Minagawa, Nobuko; Hosokawa, Kazuyoshi
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004231601	A	20040819	JP 2003-24643	20030131 <--
PRIORITY APPLN. INFO.:			JP 2003-24643	20030131 <--

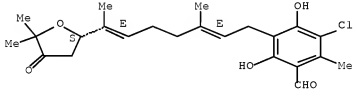
AB Title enhancers, useful for treatment of African trypanosoma, etc., contain indole alkaloids, e.g. in Picrasma quassioides. Thus, benzalharman at 25 μM inhibited glycerokinase by 62.1%. Benzalharman enhanced the antiprotozoal activity of ascofuranone with ED50 of 8.5 μM.

IT 38462-04-3, Ascofuranone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(indole alkaloids of Picrasma quassioides as enhancers for ascofuranone for treatment of protozoan diseases)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 6 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

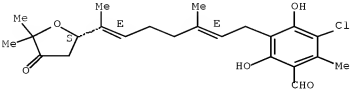
ACCESSION NUMBER:	2004:46191 CAPLUS Full-text
DOCUMENT NUMBER:	140:314454
TITLE:	Studies on the stimulating bioactivity of ascofuranone to HepG2 cell LDLR report gene expression
AUTHOR(S):	Zhang, Hua; Zhang, Chenggang; Jiang, Chenglin
CORPORATE SOURCE:	Applied Ecology Institute, Chinese Academy of Sciences, Shenyang, 110015, Peop. Rep. China
SOURCE:	Zhongguo Kangshengsu Zazhi (2002), 27(8), 449-451, 469 CODEN: ZKZAEY; ISSN: 1001-8689
PUBLISHER:	Zhongguo Kangshengsu Zazhishe
DOCUMENT TYPE:	Journal
LANGUAGE:	Chinese

AB The new potent cholesterol-lowering bioactive compound that could enhance the expression of low d. lipoprotein receptor gene was screened by using a high throughput screening system of a stable luciferase reporting gene-transfected HepG2 cell line with the expression modulating element of LDLR gene as target. One compound named ascofuranone was isolated from one strain of fungi which showed moderate stimulating activity to the LDLR luciferase reporting gene expression of the assay cell line and the SC150 was 40.4 μM. The stimulating bioactivity of ascofuranone for the LDLR gene expression showed a new important revelation for the further studies of its hypolipidemic activity.

IT 38462-04-3, Ascofuranone
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(studies on stimulating bioactivity of ascofuranone to HepG2 cell LDLR

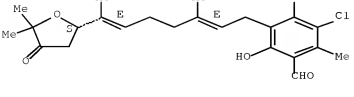
report gene expression)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 7 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:822795 CAPLUS Full-text
DOCUMENT NUMBER: 139:357611
TITLE: Ascofuranone as a chemotherapeutic agent of African sleeping sickness
AUTHOR(S): Yabu, Yoshisada; Suzuki, Takashi; Kita, Kiyoshi
CORPORATE SOURCE: Dep. Mol. Parasitol., Nagoya City Univ., Nagoya, 467-8601, Japan
SOURCE: Baiosaiensu to Indasutori (2003), 61(10), 681-682
CODEN: BIDSE6; ISSN: 0914-8981
PUBLISHER: Baioindasutori Kyokai
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review on African sleeping sickness caused by Trypanosoma infection, inhibition of trypanosome alternative oxidase by ascofuranone, and therapeutic effect of ascofuranone in African sleeping sickness mouse models.
IT 38462-04-3, Ascofuranone
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of ascofuranone on African sleeping sickness caused by Trypanosoma infection)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 8 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:787439 CAPLUS Full-text
DOCUMENT NUMBER: 140:180196
TITLE: Overproduction of highly active trypanosome alternative oxidase in Escherichia coli heme-deficient mutant
AUTHOR(S): Fukai, Yoshihisa; Nihei, Coichi; Kawai, Keisuke; Yabu, Yoshisada; Suzuki, Takasi; Ohta, Nobuo; Minagawa, Nobuko; Nagai, Kazuo; Kita, Kiyoshi
CORPORATE SOURCE: Department of Biomedical Chemistry, Graduate School of Medicine, University of Tokyo, Bunkyo-ku, Tokyo, 113-0033, Japan
SOURCE: Parasitology International (2003), 52(3), 237-241
CODEN: PAINFD; ISSN: 1383-5769
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

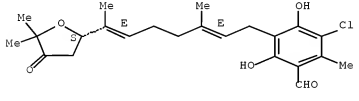
AB Cyanide-insensitive trypanosome alternative oxidase (TAO) is the terminal oxidase of the respiratory chain of long slender bloodstream forms of the African trypanosome, which causes sleeping sickness in humans and nagana in cattle. TAO has been targeted for the development of anti-trypanosomal drugs, because it does not exist in the host. In this study, we established a system for overprodn. of highly active TAO in Escherichia coli heme-deficient mutant. Kinetic anal. of recombinant enzyme and TAO in Trypanosoma brucei brucei mitochondria revealed that recombinant TAO retains the properties of native enzyme, indicating that recombinant TAO is quite valuable for further biochem. study of TAO.

IT 38462-04-3, Ascofuranone
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibition kinetics of alternative oxidase of trypanosome overexpressed in Escherichia coli heme-deficient mutant)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:643613 CAPLUS Full-text
DOCUMENT NUMBER: 139:307902

TITLE: Ascochlorin Derivatives as Ligands for Nuclear Hormone Receptors

AUTHOR(S): Togashi, Marie; Ozawa, Satoshi; Abe, Shoko; Nishimura, Tomoyuki; Tsuruga, Mie; Ando, Kunio; Tamura, Gakuzo; Kuwahara, Shigefumi; Ubukata, Makoto; Magae, Junji

CORPORATE SOURCE: Department of Biotechnology, Institute of Research and Innovation, Kashiwa, 277-0861, Japan

SOURCE: Journal of Medicinal Chemistry (2003), 46(19), 4113-4123
CODEN: JMCMAR; ISSN: 0022-2623

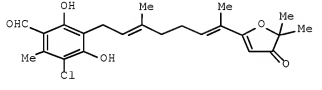
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

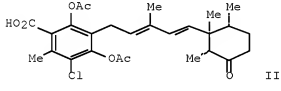
LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:307902

GI



I



II

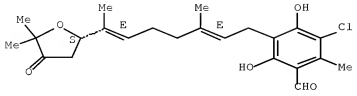
AB Nuclear receptor family proteins are structurally related transcription factors activated by specific lipophilic compds. Because they are activated by a variety of hormonal mols., including retinoic acid, vitamin D, and steroid hormones, they are assumed to be promising targets for clin. drugs. We previously found that one ascochlorin derivative, 4-O-carboxymethyl-ascochlorin, is a potent agonist of peroxisome proliferator activated receptor γ (PPARγ). Here, we synthesized derivs. of ascochlorin, designated as a lead compound, to create new modulators of nuclear hormone receptors. Two derivs., 4-O-carboxymethyl-2-O-methylascochlorin and 4-O-isonicotinoyl-2-O-methylascochlorin, showed improved agonistic activity for PPARγ and induced differentiation of a progenitor cell line, C3H10T1/2. We also found that ascochlorin, dehydroascofuranone (I), and an ascochlorin 2,4-O-diacetyl-1-carboxylic acid derivative (II) specifically activated estrogen receptors, PPARα, and an androgen receptor. All of the derivs. activated the pregnane X receptor. These results suggest that the chemical structure of ascochlorin is useful in designing novel modulators of nuclear receptors.

IT 38462-04-3, Ascofuranone
RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(preparation of ascochlorin and ascofuranone derivs. as ligands for nuclear hormone receptors)

RN 38462-04-3 CAPLUS

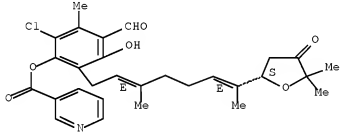
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



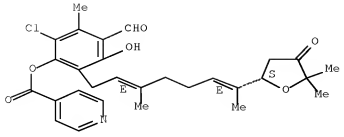
IT 60217-10-9P 155267-06-4P 169564-43-6P
290361-53-4P 611217-45-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of ascochlorin and ascofuranone derivs. as ligands for nuclear hormone receptors)
RN 60217-10-9 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



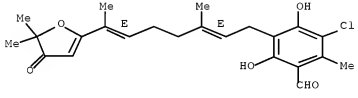
RN 155267-06-4 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



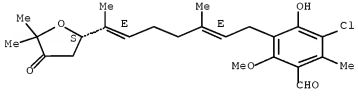
RN 169564-43-6 CAPLUS
CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



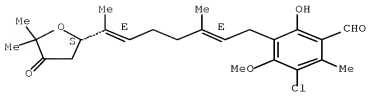
RN 290361-53-4 CAPLUS
CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 611217-45-9 CAPLUS
CN Benzaldehyde, 3-chloro-6-hydroxy-4-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:610250 CAPLUS Full-text
DOCUMENT NUMBER: 139:159971
TITLE: Medicinal composition for diagnosis, prevention, or therapy of multiple risk factor syndrome
INVENTOR(S): Ando, Kunio; Hosokawa, Tomoyoshi; Yamamoto, Masaichi
PATENT ASSIGNEE(S): Arigen, Inc., Japan
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063849	A1	20030807	WO 2002-JP767	20020131 <--
WO 2003063849	A9	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1481670	A1	20041201	EP 2002-710424	20020131 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2005153870	A1	20050714	US 2005-502912	20050223 <--

PRIORITY APPLN. INFO.: WO 2002-JP767 W 20020131 <--

AB A preventive or remedial agent for multiple risk factor syndrome which contains as effective ingredients one or more compds. selected among compds. which are compds. selected from the group consisting of ascochlorin, ascochlorin homologs, ascofuranone, and ascofuranone homologs and contain at least an oxyaldehyde moiety in which neither of the hydroxyl groups in the 2- and 4-positions have been replaced and among compds. which are selected from that group and contain at least an oxyaldehyde moiety in which at least one of the 2- and 4-position hydroxyl groups has been replaced.

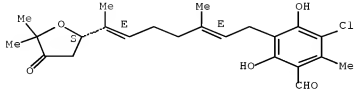
IT 38462-04-3P, Ascofuranone 155267-06-4P 290361-53-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(medicinal composition for diagnosis, prevention, or therapy of multiple risk factor syndrome)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

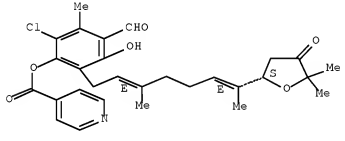
Absolute stereochemistry.
Double bond geometry as shown.



RN 155267-06-4 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

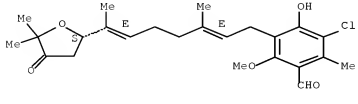
Absolute stereochemistry.
Double bond geometry as shown.



RN 290361-53-4 CAPLUS

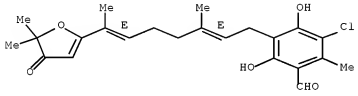
CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 169564-43-6P, Dehydroascofuranone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(medicinal composition for diagnosis, prevention, or therapy of multiple risk factor syndrome)
RN 169564-43-6 CAPLUS
CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

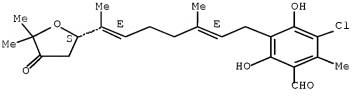


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 11 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:473397 CAPLUS Full-text
DOCUMENT NUMBER: 139:285680
TITLE: Screening of microbial secondary metabolites inhibiting cholesterol biosynthesis with the use of hepatoblastoma G2 cell culture
AUTHOR(S): Trenin, A. S.; Terekhova, L. P.; Tolstykh, I. V.; Zenkova, V. A.; Fedorova, G. B.; Katrukha, G. S.
CORPORATE SOURCE: G. F. Gause Research Institute of New Antibiotics, Russian Academy of Medical Sciences, Moscow, Russia
SOURCE: Antibiotiki i Khimioterapiya (2003), 48(1), 3-8
CODEN: ANKHEW; ISSN: 0235-2990
PUBLISHER: Izdatel'skii Dom "Krasnaya Ploshchad"
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The culture of hepatoblastoma G2 (Hep G2) cells is proposed as an effective model for screening of microbial metabolites - inhibitors of sterol biosynthesis. This model can be applied at early stages of screening procedures and is quite effective for testing of crude exts. of producers' culture broth. The test is based on measurement inhibition of the radiolabeled precursors incorporation in cholesterol and sep. fractions of lipids by microbial metabolites in Hep G2 cells. That allows not only to reveal inhibitors of cholesterol biosynthesis, but also to evaluate mechanism of action, including ability to inhibit the synthesis of cholesterol ethers. The cholesterol biosynthesis inhibition was tested at 150 microbial cultures (actinomycetes and imperfect fungi), isolated from soil. The ability to inhibit 14C-acetate incorporation into cholesterol was found in 15-20% of microbial cultures possessing antifungal activity of exts. (culture broth and mycelium).
IT 38462-64-3P
RL: BMF (Bioindustrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(hepatoblastoma G2 cell culture use for screening of microbial metabolites inhibiting cholesterol biosynthesis)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 12 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:443379 CAPLUS Full-text
DOCUMENT NUMBER: 140:22662
TITLE: The efficacy of ascofuranone in a consecutive treatment on Trypanosoma brucei brucei in mice
AUTHOR(S): Yabu, Yoshisada; Yoshida, Ayako; Suzuki, Takashi; Nihei, Coh-ichi; Kawai, Keisuke; Minagawa, Nobuko; Hosokawa, Tomoyoshi; Nagai, Kazuo; Kita, Kiyoshi; Ohta, Nobuo
CORPORATE SOURCE: Department of Molecular Parasitology, Nagoya City University, Nagoya, 467-8601, Japan
SOURCE: Parasitology International (2003), 52(2), 155-164
CODEN: PAINFD; ISSN: 1383-5769
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Consecutive administration of ascofuranone without glycerol was found to have therapeutic efficacy against Trypanosoma brucei brucei infection in mice. A suspension of ascofuranone (25-100 mg/kg) was administered i.p. every 24 h for 1-4 consecutive days to trypanosome-infected mice and efficacy was compared with oral treatment. With i.p. administration, all mice treated with 100 mg/kg ascofuranone for 4 consecutive days were cured. On contrary, with oral treatment a higher dose of ascofuranone (400 mg/kg) was needed for 8 consecutive days to cure the mice. With i.p. treatment, parasitemia was strongly suppressed, with almost all long slender bloodstream forms of the parasite changed to short stumpy forms by day 3 and the parasites were eliminated 4 days after the start of treatment. These ascofuranone-induced short stumpy forms were morphol. analogous to the stumpy forms 2 days after peak parasitemia of pleomorphic clone of T. b. brucei GUTat 3.1. However, the properties of ubiquinol oxidase activity, which is the target of ascofuranone, in mitochondria isolated from before and after treatment, were almost same. The enzymic activities of ubiquinol oxidase were only decreased to approx. 30% within a day after treatment, and then kept at nearly the same level. In the present study, we have improved the regimen for administration of ascofuranone without glycerol, and demonstrated that consecutively administered ascofuranone showed trypanocidal effects in T. b. brucei infected mice. Our

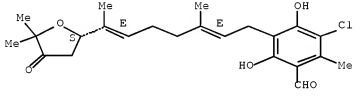
present results strongly suggest that consecutive administration of ascofuranone may be an effective chemotherapy for African trypanosomiasis.

IT 38462-64-2, Ascofuranone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(trypanosomicidal efficacy of ascofuranone in a consecutive treatment on Trypanosoma brucei brucei in mice)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 13 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

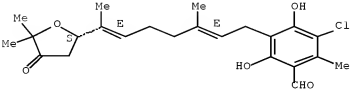
ACCESSION NUMBER: 2003:196106 CAPLUS Full-text
DOCUMENT NUMBER: 139:32393
TITLE: Purification of active recombinant trypanosome alternative oxidase
AUTHOR(S): Nihei, Coichi; Fukai, Yoshihisa; Kawai, Keisuke; Osanai, Arihiro; Yabu, Yoshisada; Suzuki, Takashi; Ohta, Nobuo; Minagawa, Nobuko; Nagai, Kazuo; Kita, Kiyoshi
CORPORATE SOURCE: Graduate School of Medicine, Department of Biomedical Chemistry, University of Tokyo, Bunkyo-ku, Tokyo, 113-0033, Japan
SOURCE: FEBS Letters (2003), 538(1-3), 35-40
CODEN: FEBLAL; ISSN: 0014-5793
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Trypanosome alternative oxidase (TAO) is the terminal oxidase of the respiratory chain in long slender bloodstream forms of African trypanosomes. TAO is a cytochrome-independent, cyanide-insensitive quinol oxidase. These characteristics are distinct from those of the bacterial quinol oxidases, proteins that belong to the heme-copper terminal oxidase superfamily. The inability to purify stable TAO has severely hampered biochem. studies of the alternative oxidase family. In the present study, we were able to purify recombinant TAO to homogeneity from Escherichia coli membranes using the detergent digitonin. Kinetic anal. of the purified TAO revealed that the specific inhibitor ascofuranone is a competitive inhibitor of ubiquinol oxidase activity.

IT 38462-64-2, Ascofuranone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(kinetic anal. of recombinant trypanosome alternative oxidase finds

ascofuranone is competitive inhibitor vs. substrate ubiquinol)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

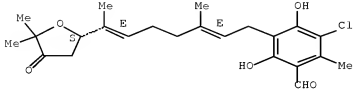
L26 ANSWER 14 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:111303 CAPLUS Full-text
DOCUMENT NUMBER: 138:147675
TITLE: Determination of aromatic aldehydes in blood by HPLC
INVENTOR(S): Ando, Kunio; Hosokawa, Tomoyoshi; Yamamoto, Masakazu
PATENT ASSIGNEE(S): Arigen, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003043023	A	20030213	JP 2001-235920	20010803 <--
PRIORITY APPLN. INFO.:			JP 2001-235920	20010803 <--

AB The method for determination of aromatic aldehydes (e.g., ascochlorin, its derivs., and analogs) and/or their metabolites in biol. samples (e.g., blood serum) collected from animals administered with the aromatic aldehydes, involves hydrolysis of conjugates between the aromatic aldehydes and/or their metabolites and biol. proteins under acidic conditions and HPLC determination of the hydrolysis products. Blood was collected from rats 1, 2, 4, 6, 8, 24, 48, and 72 h after oral administration of 4-O-methylascochlorin (I) at 50 mg/kg. Serum was separated from the blood, mixed with EtOH containing 5% AcOH, stored in a refrigerator for 1 wk, and then subjected to HPLC. Blood concns. of I determined by this method showed good correlation ($r \geq 0.95$) with calculated values obtained by tracer study using a labeled compound, showing that this method is useful for pharmacokinetic studies.
IT 38462-04-3, Ascofuranone
RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)
(acid hydrolysis for determination of ascochlorin, its derivs., analogs, and
metabolites in blood by HPLC)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-

tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



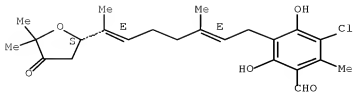
L26 ANSWER 15 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:588602 CAPLUS Full-text
DOCUMENT NUMBER: 137:293636
TITLE: Studies on a strain of fungi producing active compound that prevents VCAM-1 gene expression
AUTHOR(S): Zhang, Hua; Zhang, Chenggang; Jiang, Chenglin
CORPORATE SOURCE: Applied Ecology Institute, Chinese Academy of Sciences, Shenyang, 110015, Peop. Rep. China
SOURCE: Zhongguo Kangshengsu Zazhi (2002), 27(4), 196-198, 217
CODEN: ZKZAEY; ISSN: 1001-8689
PUBLISHER: Zhongguo Kangshengsu Zazhishe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB The bioactive compound, having the preventive effect on the expression of VCAM-1 and being used as new drugs of treating immunol. diseases such as rheumatoid arthritis, was screened in high throughout from the metabolites of microorganism. The vascular cell adhesion mol.-1 (VCAM-1) gene was used as the research target, and a stable transfected cell line M-4 carrying the luciferase report gene was used. One active compound was isolated from the mycelium of a strain of fungi FO-5897 by solvent extraction, ODS column chromatog., and HPLC purification, etc. This compound showed moderate inhibitory activity against the luciferase report gene expression of the assay cell line and IC50 was 13.8 μM. The structure of this compound was elucidated as the hypolipidemic and antitumor compound ascofuranone by physico-chemical properties and 1H-NMR anal.

IT 38462-04-3P, Ascofuranone
RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(strain of fungi producing active compound that prevents VCAM-1 gene expression)

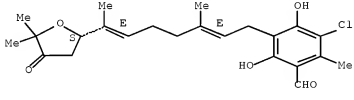
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 16 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:455276 CAPLUS Full-text
DOCUMENT NUMBER: 138:49228
TITLE: Target molecule of the novel anti-Trypanosoma drug ascofuranone: trypanosome alternative oxidase
AUTHOR(S): Nihei, Koichi; Kita, Kiyoshi
CORPORATE SOURCE: Graduate School of Medicine, University of Tokyo, Japan
SOURCE: Kagaku to Kyoiku (2002), 50(5), 350-354
CODEN: KAKYEY; ISSN: 0386-2151
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review, discussing the action mechanism and pharmacol. of the anti-Trypanosoma drug ascofuranone against Trypanosoma brucei infestation by targeting trypanosome alternative oxidase.
IT 38462-04-3, Ascofuranone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of ascofuranone against Trypanosoma brucei infestation by targeting trypanosome alternative oxidase)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 17 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:645975 CAPLUS Full-text
DOCUMENT NUMBER: 133:208011
TITLE: Preparation of ascofuranone and ascochlorin derivatives as ligands of peroxisome proliferator activated receptors
INVENTOR(S): Tamura, Gakuzo; Ando, Kunio; Magae, Junji
PATENT ASSIGNEE(S): Nuclear Receptor Research Limited, Japan; Institute of

Research and Innovation
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053563	A1	20000914	WO 2000-JP1497	20000313 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2366281	A1	20000914	CA 2000-2366281	20000313 <--
BR 2000009474	A	20011127	BR 2000-9474	20000313 <--
EP 1176134	A1	20020130	EP 2000-908027	20000313 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200103002	T2	20020321	TR 2001-3002	20000313 <--
TR 200202077	T2	20021121	TR 2002-2077	20000313 <--
NO 2001004394	A	20011112	NO 2001-4394	20010910 <--
MX 2001PA09127	A	20030714	MX 2001-PA9127	20010910 <--

PRIORITY APPLN. INFO.: JP 1999-106996 A 19990311 <--
WO 2000-JP1497 W 20000313 <--

OTHER SOURCE(S): MARPAT 133:208011

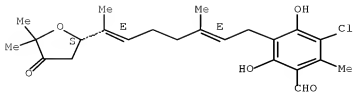
AB This document discloses ligands of peroxisome proliferator-activated receptors (PPAR) which are compds. selected from the group consisting of ascofuranone, and ascofuranone homologs (or derivs.) and ascochlorin homologs (or derivs.) having at least an orcylaldehyde moiety wherein the hydrogen atom(s) of the hydroxyl group(s) at the 2-position and/or the 4-position of the orcylaldehyde moiety are substituted by C1-15 alkyl, C1-15 alkenyl, CH2COOH, CH2COO(C1-15 alkyl), nicotinoyl, isonicotinoyl, etc. These ligands are usable in preventing and/or treating diabetes; hypertension or cerebrovascular disorders; arteriosclerosis; complications of diabetes; chronic inflammation; cachexia; digestive cancers, etc. Thus, ascofuranone at 100 mg/kg/day orally was effective in reducing the excretion of sugar in urine in diabetic rats. Formulations are given.

IT 38462-04-3, Ascofuranone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of ascofuranone and ascochlorin derivs. as ligands of peroxisome proliferator activated receptors)

RN 38462-04-3 CAPLUS

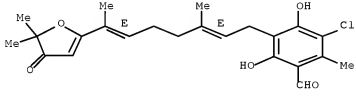
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



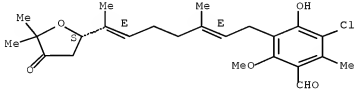
IT 169564-43-6P, Dehydroascofuranone 290361-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ascofuranone and ascochlorin derivs. as ligands of peroxisome proliferator activated receptors)
RN 169564-43-6 CAPLUS
CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 290361-53-4 CAPLUS
CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 18 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:20970 CAPLUS Full-text
DOCUMENT NUMBER: 132:175378
TITLE: Hypolipidemic action of ascofuranone in hepatoblastoma G2 cell culture

AUTHOR(S): Trenin, A. S.
CORPORATE SOURCE: Institute of New Antibiotics, Russian Academy of Medical Sciences, Moscow, Russia
SOURCE: Antibiotiki i Khimioterapiya (1999), 44(9), 7-9
CODEN: ANKHEW; ISSN: 0235-2990
PUBLISHER: Izdatel'stvo Media Sfera
DOCUMENT TYPE: Journal
LANGUAGE: Russian

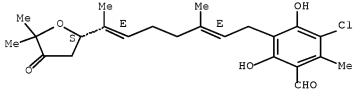
AB Ascofuranone, an isoprenoid antibiotic, suppressed 14C-acetate incorporation into cholesterol, cholesterol ethers, triglycerides, phospholipids and free fatty acids in Hep G2 cell culture. Such a complex action of the antibiotic on lipid synthesis and metabolism was not connected with the inhibition of protein synthesis and the antibiotic toxicity.

IT 38462-04-3, Ascofuranone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hypolipidemic action of ascofuranone in hepatoblastoma G2 cell culture)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



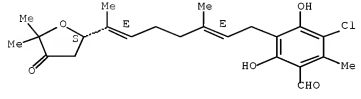
L26 ANSWER 19 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:401454 CAPLUS Full-text
DOCUMENT NUMBER: 131:55097
TITLE: Activity of the ilicicolins against plant pathogenic fungi
AUTHOR(S): Bal-Tembe, S.; Kundu, S.; Roy, K.; Hiremath, C. P.; Gole, G.; De Souza, E. Pinto; Kumar, E. K. S. Vijaya; Gates, D. A.; Pillmoor, J. B.
CORPORATE SOURCE: Hoechst Marion Roussel Ltd, Research Centre, Mumbai, 400 080, India
SOURCE: Pesticide Science (1999), 55(6), 645-647
CODEN: PSSCBG; ISSN: 0031-613X
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Ilicicolins D, E, F, dechloroilicicolin D, ascofuranone and arthrichitin were isolated from the fermentation broth of Nectria sp (HIL Y 90 3333). The ilicicolins showed good fungicidal activity in plants.

IT 38462-04-3P, Ascofuranone
RL: AGR (Agricultural use); BMF (Bioindustrial manufacture); BIOL

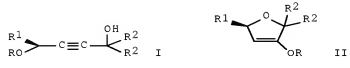
(Biological study); PREP (Preparation); USES (Uses)
(fungicide from Nectria fermentation broth)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 20 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:86482 CAPLUS Full-text
DOCUMENT NUMBER: 130:267283
TITLE: Synthesis of enantiomerically enriched 2,5-dihydrofuran derivatives from easily available enantiomerically enriched 2-butyne-1,4-diols by stereospecific transformation
AUTHOR(S): Saimoto, Hiroyuki; Yasui, Masaru; Ohrai, Shin-ichiro; Oikawa, Hiroshige; Yokoyama, Kazuhiro; Shigemasa, Yoshihiro
CORPORATE SOURCE: Dep. Mater. Sci., Fac. Eng., Tottori University, Tottori, 680-8552, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1999), 72(2), 279-284
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:267283
GI



AB The enantiomerically enriched trisubstituted (acyloxy)butynols I [R = Ac, Me3CCO; R1 = Me, pentyl, Ph, (E,E)-THP-OCH2CH:CMeCH2CH2CH:CMe (THP = tetrahydro-2-pyranyl); R22 = (CH2)5; R2 = Me] were transformed into (acyloxy)dihydrofurans II with complete stereospecificity by Ag(I)-mediated rearrangement of I to allenic intermediates followed by Ag(I)-assisted

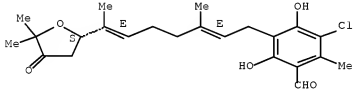
cyclization. A stereochem. anal. revealed that the newly formed carbon-oxygen bond in II was formed from the back side of the cleaved carbon-oxygen bond in I. I were prepared by an enantioselective reduction of the corresponding alkynyl ketones and subsequent acylation. Since II were easily converted to the corresponding 4,5-dihydro-3(2H)- furanones, this sequence was successfully applied to the formal synthesis of a differentiation-inducing antibiotic, (S)-(-)-ascofuranone.

IT 38462-04-3F, Ascofuranone
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of enantiomeric (acyloxy)dihydrofurans and formal synthesis of ascofuranone by rearrangement-cyclocondensation of butynediol esters)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



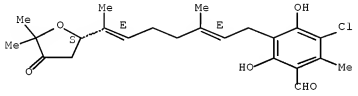
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 21 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:522580 CAPLUS Full-text
DOCUMENT NUMBER: 129:270006
TITLE: Oral and intraperitoneal treatment of Trypanosoma brucei brucei with a combination of ascofuranone and glycerol in mice
AUTHOR(S): Yabu, Yoshisada; Minagawa, Nobuko; Kita, Kiyoshi; Nagai, Kazuo; Honma, Masakatsu; Sakajo, Shigeru; Koide, Tatsuo; Ohta, Nobuo; Yoshimoto, Akio
CORPORATE SOURCE: Department of Medical Zoology, Medical School, Nagoya City University, Nagoya, 467-8601, Japan
SOURCE: Parasitology International (1998), 47(2), 131-137
CODEN: PAINFD; ISSN: 1383-5769
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A suspension of ascofuranone (6-200 mg/kg) was given orally or i.p., and then glycerol (1 g/kg) was administered orally or i.p. at 30-min intervals to mice heavily parasitemic with T. brucei brucei. Both orally (100 mg/kg) and i.p. (25 mg/kg) administered ascofuranone, combined with a total dose of 3 g glycerol/kg, produced potent antitrypanosomal activity in infested mice. The trypanocidal activity of ascofuranone was very powerful, and all trypanosomes had disappeared within 30 and 180 min after final i.p. and oral treatment, resp. This combination treatment showed high efficacy and low toxicity. Ascofuranone in combination with glycerol may be an effective tool in chemotherapy for African trypanosomiasis.

IT 38462-04-3, Ascofuranone
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Trypanosoma brucei brucei infestation treatment by glycerol plus)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

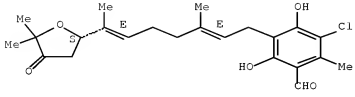


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 22 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:37162 CAPLUS Full-text
DOCUMENT NUMBER: 128:151573
TITLE: Biosynthesis of ascofuranone by the fungus Paecilomyces variotii Bainier
AUTHOR(S): Terekhova, L. P.; Trenin, A. S.; Ozerskaya, S. M.; Rudenskaya, Yu. A.; Maksimova, T. S.; Katrukha, G. S.; Tolstykh, I. V.; Zenkova, V. A.; Fedorova, G. B.; Potapova, N. P.; Kosykh, V. A.
CORPORATE SOURCE: Research Institute of New Antibiotics, Russian Academy of Medical Sciences, Moscow, 119867, Russia
SOURCE: Microbiology (Moscow)(Translation of Mikrobiologiya) (1997), 66(5), 510-514
CODEN: MIBLAO; ISSN: 0026-2617
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In the course of searching for microbial metabolites able to inhibit cholesterol biosynthesis, it was found the that culture liquid of the fungal strain INA-199 isolated from a soil sample exhibited a pronounced hypolipidemic activity and inhibited [14C]acetate incorporation into cholesterol in a hepatoblastoma G2 cell culture. A complex of antibiotics was isolated from the culture liquid The main component of the complex (F-199-A) was identified as ascofuranone, an antibiotic that had been discovered in Ascochyta viciae Libert. Strain INA-199, a new producer of ascofuranone, was assigned to the species Paecilomyces variotii Bainier.
IT 38462-04-3P, Ascofuranone
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation)
(biosynthesis of ascofuranone by fungus Paecilomyces variotii Bainier)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-

tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

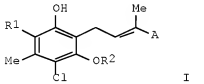


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 23 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:453440 CAPLUS Full-text
DOCUMENT NUMBER: 127:156717
TITLE: Protozoacides containing isoprenoid antibiotics, ascochlorin, ascofuranone, or their derivatives
INVENTOR(S): Minagawa, Nobuko; Yabu, Yoshisada; Kita, Kiyoshi; Nagai, Kazuo; Hosokawa, Tomoyoshi
PATENT ASSIGNEE(S): Hosokawa, Tomoyoshi, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09165332	A	19970624	JP 1995-351093	19951215 <--
PRIORITY APPLN. INFO.:			JP 1995-351093	19951215 <--

OTHER SOURCE(S): MARPAT 127:156717
GI



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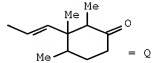
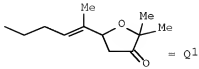


= Q



= Q1

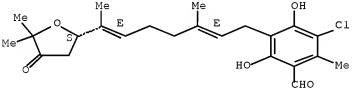
AB The protozoacides contain ascochlorins I [A = Q; R1 = CHO, CO2H; R2 = (CnH2n)R3 (n = 1-5; R3 = H, CO2R4; R4 = H, C1-3 alkyl), COR5 (R5 = pyridyl, C1-3 alkylamino, halophenoxyalkyl, Ph substituted with C1-3 alkoxy or C1-3 alkoxycarbonyl)] or ascofuranones I (A = Q1) as active ingredients. The protozoacides are useful for prevention and treatment of African trypanosomiasis and trypanosomiasis of domestic animals. Ascochlorin inhibited in vitro growth of circulating-form Trypanosoma brucei brucei in the presence of glycerin. .

IT 38462-04-3, Ascofuranone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(protozoacides containing isoprenoid antibiotics, ascochlorin, ascofuranone, or their derivs.)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 24 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:179701 CAPLUS Full-text
DOCUMENT NUMBER: 126:180891
TITLE: An antibiotic, ascofuranone, specifically inhibits respiration and in vitro growth of long slender bloodstream forms of trypanosoma brucei brucei. [Erratum to document cited in CA125:265065]
AUTHOR(S): Minagawa, Nobuko; Yabu, Yoshisada; Kita, Kiyoshi; Nagai, Kazuo; Ohta, Nobuo; Meguro, Keiichi; Sakajo, Shigeru; Yoshimoto, Akio
CORPORATE SOURCE: Department of Biochemistry, Niigata College of Pharmacy, Niigata, 950-21, Japan
SOURCE: Molecular and Biochemical Parasitology (1997), 84(2), 271-280
CODEN: MBIPDP; ISSN: 0166-6851
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

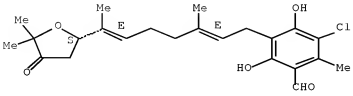
AB The errors were not reflected in the abstract or the index entries.

IT 38462-04-3, Ascofuranone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ascofuranone with glycerol inhibits respiration and in vitro growth of Trypanosoma brucei brucei (Erratum))

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

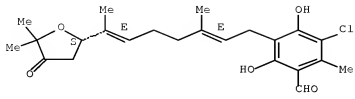
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 25 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:583098 CAPLUS Full-text
DOCUMENT NUMBER: 125:265065
TITLE: An antibiotic, ascofuranone, specifically inhibits respiration and in vitro growth of long slender bloodstream forms of Trypanosoma brucei brucei
AUTHOR(S): Minagawa, Nobuko; Yabu, Yoshisada; Kita, Kiyoshi; Nagai, Kazuo; Ohta, Nobuo; Meguro, Keiichi; Sakajo, Shigeru; Yoshimoto, Akio
CORPORATE SOURCE: Department of Biochemistry, Niigata College of Pharmacy, 5-13-2 Kamishin'ei-cho, Niigata, 950-21, Japan
SOURCE: Molecular and Biochemical Parasitology (1996), 81(2), 127-136
CODEN: MBIPDP; ISSN: 0166-6851
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Ascofuranone, a prenylphenol antibiotic isolated from a phytopathogenic fungus, Ascochyta visiae, strongly inhibited both glucose-dependent cellular respiration and glycerol-3-phosphate-dependent mitochondrial O2 consumption of long slender bloodstream forms of Trypanosoma brucei brucei. This inhibition was suggested to be due to inhibition of the mitochondrial electron-transport system, composed of glycerol-3-phosphate dehydrogenase (EC 1.1.99.5) and plant-like alternative oxidase. Ascofuranone noncompetitively inhibited the reduced coenzyme Q1-dependent O2 uptake of the mitochondria with respect to ubiquinol (Ki = 2.38 nM). Therefore, the susceptible site is deduced to be the ubiquinone redox machinery which links the two enzyme activities. Further, ascofuranone in combination with glycerol completely blocked energy production, and potently inhibited the in vitro growth of the parasite. Our findings suggest that ascofuranone might be a promising candidate for the chemotherapeutic agents of African trypanosomiasis.
IT 38462-04-3, Ascofuranone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ascofuranone with glycerol inhibits respiration and in vitro growth of Trypanosoma brucei brucei)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX

NAME)

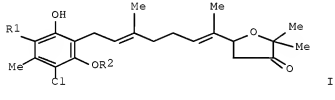
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 26 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:916538 CAPLUS Full-text
DOCUMENT NUMBER: 123:314214
TITLE: Preparation of ascofuranone derivatives as hypolipemics
INVENTOR(S): Hosokawa, Tomoyoshi
PATENT ASSIGNEE(S): Imuno Japan Kk, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07206838	A	19950808	JP 1994-2729	19940114 <--
PRIORITY APPLN. INFO.:			JP 1994-2729	19940114 <--

OTHER SOURCE(S): MARPAT 123:314214
GI



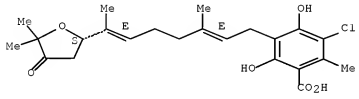
I

AB Title compds. I [R1 = CHO, COOH; R2 = H, CH2COOH, CH2COOR3; R3 = C1-6 alkyl; with provisos] are prepared Thus, 4-O-carboxymethyl ascofuranone (II) was prepared via reacting ascofuranone with Me bromoacetate in DMF containing NaH and hydrolyzing the resulting 4-O-methoxycarboxymethyl ascofuranone. II at 8 mg/Kg p.o. for 7 days reduced the blood cholesterol in mice by 18%. Also, I [R1 = COOH, R2 = H] was obtained from ascofuranone using Pseudomonas ovalis culture.

IT 170293-45-5P
RL: BAC (Biological activity or effector, except adverse); BPN (Biosynthetic preparation); BSU (Biological study, unclassified); THU

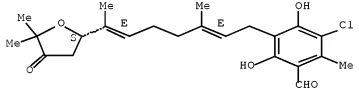
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ascofuranone derivs. as hypolipemics)
RN 170293-45-5 CAPLUS
CN Benzoic acid, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

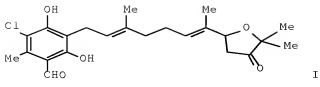


IT 38462-04-3, Ascofuranone
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ascofuranone derivs. as hypolipemics)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 27 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:818051 CAPLUS Full-text
DOCUMENT NUMBER: 123:286332
TITLE: Total synthesis of dl-ascofuranone and related compounds
AUTHOR(S): Saimoto, Hiroyuki; Ohrai, Shin-ichiro; Sashiwa, Hitoshi; Shigemasa, Yoshihiro; Hiyama, Tamejiro
CORPORATE SOURCE: Fac. Eng., tottori Univ., Torrori, 680, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1995), 68(9), 2727-34
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:286332
GI



I

AB Convergent synthesis of an antitumor protective agent, ascofuranone (I), was accomplished by (1) preparation of the terpenoid side chain having a furanone moiety, (2) coupling the side chain with a protected phenol derivative, and (3) deprotection to regenerate the hydroxyl groups. This strategy was successfully applied to the synthesis of oxidized and cyclized analogs of ascofuranone. Some of the ascofuranone derivs. were found to inhibit the growth of P388 leukemia cells.

IT 169564-43-6P

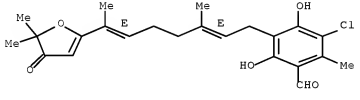
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(total synthesis of ascofuranone and related compds.)

RN 169564-43-6 CAPLUS

CN Benzaldehyde, 3-chloro-5-[(2E,6E)-7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 86832-77-1P, (±)-Ascofuranone 105929-14-4P 169564-37-8P

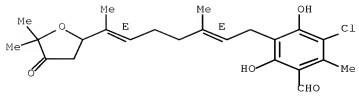
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of ascofuranone and related compds.)

RN 86832-77-1 CAPLUS

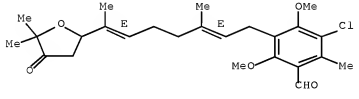
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

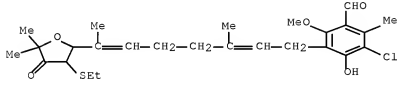


RN 105929-14-4 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dimethoxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

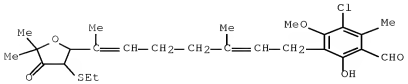
Double bond geometry as shown.



RN 169564-37-8 CAPLUS
CN Benzaldehyde, 3-chloro-5-[7-[3-(ethylthio)tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-3-methyl-2,6-octadienyl]-4-hydroxy-6-methoxy-2-methyl- (9CI) (CA INDEX NAME)

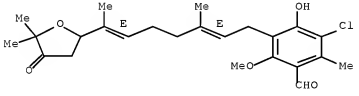


IT 169564-36-7P 169564-38-9P 169564-39-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of ascofuranone and related compds.)
RN 169564-36-7 CAPLUS
CN Benzaldehyde, 3-chloro-5-[7-[3-(ethylthio)tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-3-methyl-2,6-octadienyl]-6-hydroxy-4-methoxy-2-methyl- (9CI) (CA INDEX NAME)

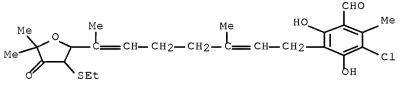


RN 169564-38-9 CAPLUS
CN Benzaldehyde, 3-chloro-4-hydroxy-6-methoxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

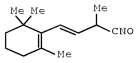


RN 169564-39-0 CAPLUS
CN Benzaldehyde, 3-chloro-5-[7-[3-(ethylthio)tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)



L26 ANSWER 28 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:605717 CAPLUS Full-text
DOCUMENT NUMBER: 121:205717
TITLE: Application of [3 + 2] nitrile oxide cycloaddition chemistry to the construction of retinoid skeleton and to a new formal synthesis of (±)-ascofuranone and geiparvarin
AUTHOR(S): Baraldi, Pier Giovanni; Bigoni, Angelica; Guarneri, Mario; Manfredini, Stefano; Pollini, Gian Piero; Simoni, Daniele
CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, 44100, Italy
SOURCE: Farmaco (1993), 48(11), 1515-29
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:205717
GI



I

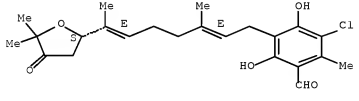
AB A flexible approach to the construction of the C-20 retinoid carbon skeleton involving the intermol. [3 + 2] cycloaddn. of the nitriles oxide I derived from a C-14 aldehyde component with (E)-HC.tplbond.CCMe:CHCH2OAc or (E,E)-CH2:CHCMe:CHCH2OAc, followed by Mo(CO)6-promoted ring opening of the derived 3,5-disubstituted isoxazoline or isoxazole ring systems, has been accomplished. The same strategy has been also successfully applied as a tool for the crucial carbon-carbon bond forming step to the formal synthesis of (±)-ascofuranone and to a new synthesis of geiparvarin.

IT 38462-04-3P, Ascofuranone
RL: SPN (Synthetic preparation); PREP (Preparation)
(nitrile oxide cycloaddn. in preparation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 29 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:548499 CAPLUS Full-text
DOCUMENT NUMBER: 121:148499
TITLE: Effects of ascofuranone on the mitochondria isolated from Hansenula anomala
AUTHOR(S): Minagawa, Nobuko; Meguro, Keiichi; Sakajo, Shigeru; Yoshimoto, Akio
CORPORATE SOURCE: Dep. Biochem., Niigata Coll. Pharmacy, Niigata, 950-21, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (1994), 58(7), 1334-5
CODEN: BBBIEJ; ISSN: 0916-8451
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An antitumor antibiotic, ascofuranone, selectively inhibited succinate- and NADPH-dependent O2 uptake activity of the mitochondria from H. anomala,

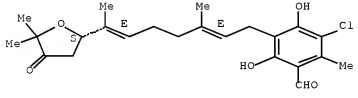
suggesting its interaction with the ubiquinone-reduction site of succinate dehydrogenase and external NADPH-dehydrogenase reactions. The action of ascofuranone on the mitochondria is clearly different from that of antimycin A, the Qi site inhibitor. Further investigation is required to elucidate the mechanism of involvement of respiratory inhibition in the cell differentiation induced by ascofuranone.

IT 38462-04-3, Ascofuranone
RL: BIOL (Biological study)
(mitochondria respiration inhibition by, cell differentiation induction in relation to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

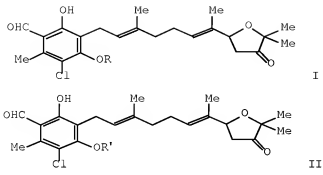


L26 ANSWER 30 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:323916 CAPLUS Full-text
DOCUMENT NUMBER: 120:323916
TITLE: Ascofuranone, and hypolipidemic agent, hypoglycemic agent and glycation inhibitor each containing ascofuranone derivative as active ingredient
INVENTOR(S): Hosokawa, Tomoyoshi
PATENT ASSIGNEE(S): Immuno Japan Inc., Japan
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 9404520	A1	19940303	WO 1993-JP1135		19930811	<--
W: JP, US						
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE						
JP 3249124	B2	20020121	JP 1994-506100		19930811	<--
PRIORITY APPLN. INFO.:			JP 1992-214124	A	19920811	<--
			JP 1993-18904	A	19930205	<--
			JP 1993-18904U	U	19930205	<--
			WO 1993-JP1135	W	19930811	<--

OTHER SOURCE(S): CASREACT 120:323916; MARPAT 120:323916
GI



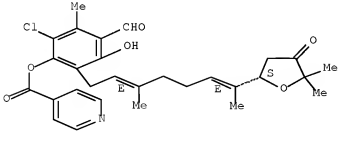
AB Title compds. [I; R = H, alkylcarbonyl, pyridinylcarbonyl, (un)substituted benzoyl, etc.; II; R1 = alkylcarbonyl, pyridinylcarbonyl, etc.] are prepared I and II are excellent in hypoglycemic, hypolipidemic and glycation-inhibiting effects, thus being remarkably useful for preventing and treating diabetes, arteriosclerosis and so forth. Thus, ascofuranone in pyridine was reacted with isonicotinic acid chloride hydrochloride at 80-90° for 24 h to give I [R = 4-pyridylcarbonyl]. I [R = 3-(ethoxycarbonyl)propyl] showed 16.6% inhibition against glycation in an in vitro study using bovine serum albumin and glucose.

IT 155267-06-4P 155267-08-6P 155267-11-1P
155267-12-2P 155267-13-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 155267-06-4 CAPLUS

CN 4-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

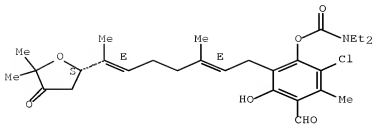
Absolute stereochemistry.
Double bond geometry as shown.



RN 155267-08-6 CAPLUS

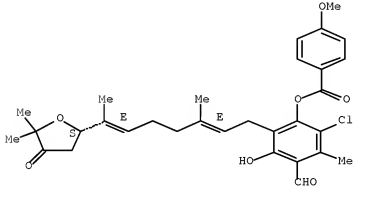
CN Carbamic acid, diethyl-, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



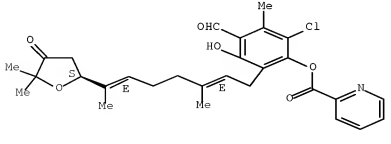
RN 155267-11-1 CAPLUS
CN Benzoic acid, 4-methoxy-, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



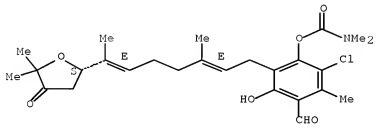
RN 155267-12-2 CAPLUS
CN 2-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



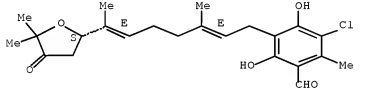
RN 155267-13-3 CAPLUS
CN Carbamic acid, dimethyl-, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]phenyl ester, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 38462-04-3, Ascofuranone
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with isonicotinic acid chloride hydrochloride in preparation of drugs)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 31 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:22988 CAPLUS Full-text
DOCUMENT NUMBER: 120:22988
TITLE: Effects of microbial products on glucose consumption and morphology of macrophages
AUTHOR(S): Magae, Junji; Munemura, Kazuko; Ichikawa, Chiyo; Osada, Kazuko; Hanada, Toshihiko; Tsuji, Ryohei F.; Yamashita, Masahiro; Hino, Ayako; Horiuchi, Tatsuo; et al.
CORPORATE SOURCE: Dep. Bioeng., Tokyo Inst. Technol., Yokohama, 227, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (1993), 57(10), 1628-31
CODEN: BBBIEJ; ISSN: 0916-8451
DOCUMENT TYPE: Journal
LANGUAGE: English

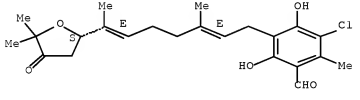
AB The authors studied the effects of microbial products on glucose consumption and morphol. of macrophages which were elicited with thioglycollate medium. Macromols. such as lipopolysaccharide (LPS), tumor promoters, and respiratory inhibitors increased macrophage glucose consumption without inducing evident morphol. changes. The assay system was used to screen for active substances in culture broth exts. from actinomycetes. Among them, aureothin increased glucose consumption of macrophages and inhibited respiration of a rat mitochondrial fraction. Concanamycin A induced morphol. changes of macrophages into needle-like shapes but not of cloned cells including the macrophage-like cells J774.1. This compound changed fibrosarcoma L929 cells into round shapes without affecting the shape of a nontransformed fibroblast, BALB/3T3 cells. Antimycin and concanamycin A increased tumor-killing activity of macrophages when added during the effector phase. These results suggest that this assay system is simple and sufficiently reproducible and thus usable for screening for modulators of macrophage function among natural products.

IT 38462-04-3, Ascofuranone
RL: ANST (Analytical study)
(macrophage glucose consumption and morphol. response to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 32 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1992:255825 CAPLUS Full-text
DOCUMENT NUMBER:	116:255825
TITLE:	Geiparvarin Analogs. 3. Synthesis and cytostatic activity of 3(2H)-furanone and 4,5-dihydro-3(2H)-furanone congeners of geiparvarin, containing a geraniol-like fragment in the side chain.
AUTHOR(S):	Baraldi, Pier G.; Manfredini, Stefano; Simoni, Daniele; Tabrizi, Mojgan Aghazadeh; Balzarini, Jan; De Clercq, Erik
CORPORATE SOURCE:	Dip. Sci. Farm., Univ. Ferrara, Ferrara, I-44100, Italy
SOURCE:	Journal of Medicinal Chemistry (1992), 35(10), 1877-82 CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 116:255825

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

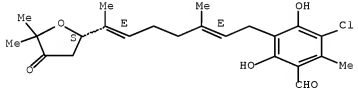
AB In a continuing study on the structural features of geiparvarin (I), responsible for cytostatic activity, a series of 4,5-dihydro-3(2H)- furanones II (R = 2,6-C12C6H3, Ph, β-naphthyl, 3-, 4-MeOC6H4, Rl) and of 3(2H)-furanones III as well as 2'',3''-dihydrogeiparvarin (IV) were designed and synthesized. Their cytostatic activity was evaluated against proliferation of murine (L1210, FM3A) and human (Raji, Molt/4F, and MT4) tumor cells. Modifications in the region of the olefinic double bond by introduction of the characteristic alkenyl side chain of ascofuranone (II and III) markedly decreased the cytostatic activity as compared to geiparvarin itself, but this effect does not seem to be correlated to the presence of the furanone moiety linked to the alkenyl chain or to the ability to afford Michael type adducts. Replacement of the coumarin portion by other aromatic rings did not alter the cytostatic activity. The essential inactivity of IV points to the importance of the 3(2H)-furanone ring system in the cytostatic activity; consequently, this moiety may be considered as the determinant pharmacophore for antitumor activity, while the side chain plays a rather modulatory role.

IT 38462-04-3DP, Ascofuranone, analogs
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cytostatic activity of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 33 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:206905 CAPLUS Full-text
DOCUMENT NUMBER: 114:206905
TITLE: A [3+2] nitrile oxide intermolecular cycloaddition approach to 4,5-dihydro-3(2H)-furanone and 3(2H)-furanone ring systems: application to the formal synthesis of (±)-ascofuranone and geiparvarin
AUTHOR(S): Tabrizi, M. Aghazade; Baraldi, P. G.; Guarneri, M.; Manfredini, S.; Pollini, G. P.; Simoni, D.
CORPORATE SOURCE: Dip. Sci. Farm., Univ. Ferrara, Ferrara, I-44100, Italy
SOURCE: Tetrahedron Letters (1991), 32(5), 683-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:206905

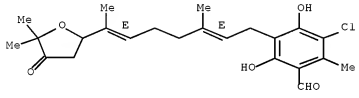
AB A unified approach to both 4,5-dihydro-3(2H)-furanone and 3(2H)-furanone ring systems centered on a [3+2] nitrile oxide cycloaddn. for the C-C bond forming steps has been successfully applied to the synthesis of (±)-ascofuranone and geiparvarin from common intermediates.

IT 86832-77-1P, (±)-Ascofuranone
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 34 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:81457 CAPLUS Full-text

DOCUMENT NUMBER: 114:81457

TITLE: Enantioselective transformation of propargyl esters to dihydrofurans

AUTHOR(S): Shigemasa, Yoshihiro; Yasui, Masaru; Ohrai, Shinichiro; Sasaki, Makoto; Sashiwa, Hitoshi; Saimoto, Hiroyuki

CORPORATE SOURCE: Fac. Eng., Tottori Univ., Tottori, 680, Japan

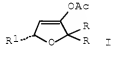
SOURCE: Journal of Organic Chemistry (1991), 56(3), 910-12
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:81457

GI



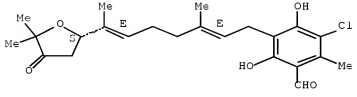
I

AB Transformation of enantiomerically enriched propargyl esters AcOCHR1C.tplbond.CCR2OH [R = Me, RR = (CH2)5; R1 = Me, Ph, n-pentyl] into dihydrofurans I with complete enantiospecificity is achieved by Ag(I)-catalyzed rearrangement and cyclization, and the sequence is successfully applied to the enantioselective synthesis of an antitumor protective and hypolipidemic antibiotic, (S)-(-)-ascofuranone.

IT 38462-04-3P
RL: SPN (Synthetic preparation); PREP (Preparation)

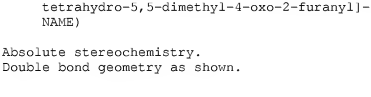
(preparation of)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 35 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:224865 CAPLUS Full-text
DOCUMENT NUMBER: 110:224865
TITLE: Antitumorigenic effect of ascofuranone
AUTHOR(S): Magae, Junji; Nagai, Kazuo
CORPORATE SOURCE: Fac. Agric., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Kagaku to Seibutsu (1989), 27(4), 212-14
CODEN: KASEAA; ISSN: 0453-073X
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review with 5 refs. on the antitumor mechanism of ascofuranone. Phagocytes such as macrophages are activated selectively by ascofuranone.
IT 38462-04-3, Ascofuranone
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibition by, mechanism of)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 36 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:69064 CAPLUS Full-text
DOCUMENT NUMBER: 110:69064

TITLE: Differentiation of mouse and human myeloid leukemia cells induced by an antitumor antibiotic, ascofuranone
AUTHOR(S): Magae, Junji; Nagai, Kazuo; Ando, Kunio; Tamura, Gakuzo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Agricultural and Biological Chemistry (1988), 52(12), 3143-7
CODEN: ABCHA6; ISSN: 0002-1369
DOCUMENT TYPE: Journal
LANGUAGE: English

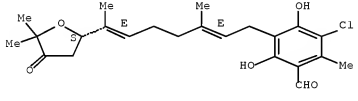
AB Mouse myeloid leukemia cells M1 were induced to differentiate into phagocytes by treatment with ascofuranone (AF). AF also induced differentiation of human promyelocytic leukemia HL60 cells and human erythroid leukemia K562 cells into granulocytes and erythrocytes, as detected by nitroblue tetrazolium-reducing activity and benzidine staining, resp. The antibiotic enhanced acetate incorporation by K562 cells. The increase was not observed with the cells of HL60 and two human B lymphoma lines, Daudi and Raji. The increase was diminished by the addition of the glycolysis inhibitor deoxyglucose. Inhibitors of respiration, antimycin and NaN3, also enhanced acetate incorporation by K562 cells; this was diminished by the addition of deoxyglucose. Antimycin induced differentiation of K562 and HL60 cells. There is a possible relationship between cell differentiation and inhibition of respiration.

IT 38462-04-3, Ascofuranone
RL: PRP (Properties)
(antileukemic effect of, cell differentiation and respiration and acetate uptake in)

RN 38462-04-3 CAPLUS

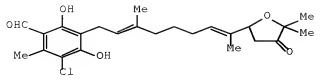
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 37 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:522101 CAPLUS Full-text
DOCUMENT NUMBER: 109:122101
TITLE: Antitumor and antimetastatic activity of an antibiotic, ascofuranone, and activation of phagocytes
AUTHOR(S): Magae, Junji; Hayasaki, Junichi; Matsuda, Yuko; Hotta, Mitsuyuki; Hosokawa, Tomoyoshi; Suzuki, Seikichi; Nagai, Kazuo; Ando, Kunio; Tamura, Gakuzo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Journal of Antibiotics (1988), 41(7), 959-65
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



I

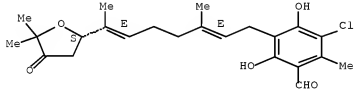
AB Ascofuranone (I) had antitumor activity against FM3A murine mammary carcinoma, implanted in the peritoneal cavity of syngeneic mice. It was more effective with treatment prior to implantation than with that after implantation. Treatment with ascofuranone also increased splenic cytotoxicity and phagocytic activity of host animal cells. Moreover, ascofuranone induced inflammatory cells, mainly polymorphonuclear leukocytes and macrophages in the peritoneal cavity. These cells were more cytotoxic to FM3A cells than to resident peritoneal cells. The antitumor activity of ascofuranone was suppressed by i.p. administration of silica, just prior to tumor implantation. Thus, the prophylactic antitumor activity of ascofuranone is expressed through the activation of phagocytes.

IT 38462-04-3, Ascofuranone
RL: BIOL (Biological study)
(neoplasm and metastasis inhibition by, phagocyte activation in)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

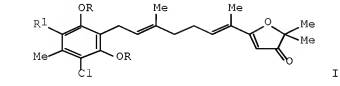
Absolute stereochemistry.
Double bond geometry as shown.



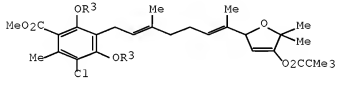
L26 ANSWER 38 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:167286 CAPLUS Full-text
DOCUMENT NUMBER: 108:167286
TITLE: Preparation of furanone derivatives as antitumor agents
INVENTOR(S): Hyama, Tamejiro; Saimoto, Hiroyuki
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62181271	A	19870808	JP 1986-23049	19860206 <--
PRIORITY APPLN. INFO.:			JP 1986-23049	19860206 <--

GI



I



II

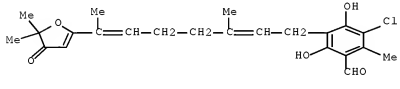
AB Furanone derivs. I (R = H, protecting group; R1 = CHO, CO2H, alkoxycarbonyl), useful as antitumor agents, are prepared A solution of II (R3 = Me3SiCH2CH2OCH2) in C6H6 was treated with DDQ at room temperature to give 50% I (R = Me3SiCH2CH2OCH2, R1 = CO2Me)(III). III was reduced by LiAlH4 in ether to afford 95% I (R = Me3SiCH2CH2OCH2, R1 = CHO), which showed IC50 of 3.7 + 10-3μmol/mL against leukemia P388 cells, vs. 3.0 + 10-2 μmol/mL for ascofuranone.

IT 113899-01-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antitumor agent)

RN 113899-01-7 CAPLUS

CN Benzaldehyde, 3-chloro-5-[7-(4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl)-3-methyl-2,6-octadienyl]-4,6-dihydroxy-2-methyl- (9CI) (CA INDEX NAME)

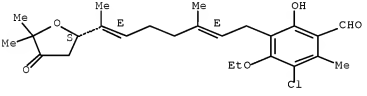


L26 ANSWER 39 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1988:141095 CAPLUS Full-text
DOCUMENT NUMBER:	108:141095
TITLE:	Structure of 4-O-ethylascofuranone
AUTHOR(S):	Nawata, Yoshiharu; Sasaki, Hiroshi; Ochi, Kiyoshige; Ando, Kunio
CORPORATE SOURCE:	Res. Lab., Chugai Pharm. Co. Ltd., Tokyo, 171, Japan

SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1988), C44(2), 383-4
CODEN: ACSCEE; ISSN: 0108-2701
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The title compound is orthorhombic, space group P212121, with a 11.724(1), b 34.626(2), and c 6.122(1) Å; Z = 4 for dc = 1.200. The final R = 0.050 for 2423 reflections. The compound has a round mol. conformation, turning at the middle of the sesquiterpenoid moiety. The intramol. van der Waals contacts, observed at the center of the mol., stabilize the conformation. Atomic coordinates are given.
IT 55968-32-6
RL: PRP (Properties)
(crystal structure of)
RN 55968-32-6 CAPLUS
CN Benzaldehyde, 3-chloro-4-ethoxy-6-hydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

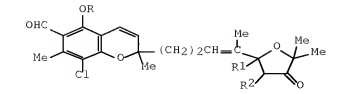
Absolute stereochemistry.
Double bond geometry as shown.



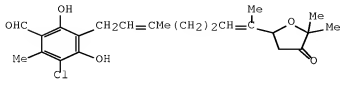
L26 ANSWER 40 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:37645 CAPLUS Full-text
DOCUMENT NUMBER: 108:37645
TITLE: Preparation of (furanyl)pentenylchromene derivatives as anticancer agents
INVENTOR(S): Hyama, Tamejiro; Saimoto, Hiroyuki
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62181276	A	19870808	JP 1986-23050	19860206 <--
PRIORITY APPLN. INFO.:			JP 1986-23050	19860206 <--

GI



I



II

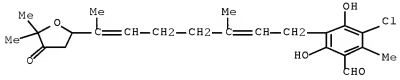
AB The title compds. I (R = H, hydroxy-protecting group; R1, R2 = H or R1R2 = bond), useful as anticancer agents, were prepared A mixture of 3.8 mg ascofuranone II (preparation given) and 4.1 mg DDQ in 0.5 mL C6H6 was stirred at 80° for 50 min to give 0.7 mg (tetrahydrofuranyl)pentenylchromen e derivative I (R = R1 = R2 = H) and (dihydrofuranyl)pentenylchromene derivative I (R = H; R1R2 = bond) (III). III in vitro inhibited mouse lymphatic leukemic cells (p388) with an IC50 of 4.0 + 10-3 μmol/mL.

IT 112137-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 112137-36-7 CAPLUS

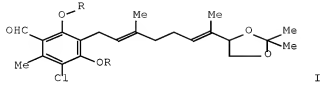
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]- (9CI) (CA INDEX NAME)



L26 ANSWER 41 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:477421 CAPLUS Full-text
DOCUMENT NUMBER: 107:77421
TITLE: Deprotection of aryloxy acetals by P2I4
INVENTOR(S): Hiyama, Tamejiro; Saimoto, Hiroyuki; Kusano, Yukari
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62036334	A	19870217	JP 1985-173310	19850808 <--

PRIORITY APPLN. INFO.: JP 1985-173310 19850808 <--
GI



I

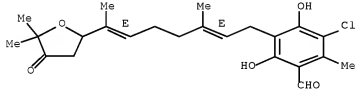
AB Ar(OH)n [Ar = (substituted) aromatic group; n = 1 to m (m = maximum number of H on Ar)], e.g. virucidal, anticancer, and antihypertensive (no data) I (R = H) (II), were prepared by deprotection of Ar(OR)n (R = α-alkoxyalkyl) with P2I4. A solution of 12 mg I [R = CH2O(CH2)2SiMe3] (preparation given) in CH2Cl2 was treated with 8 mg P2I4 at 0°, and then 0.2 mL aqueous saturated NaCl to give 40% II.

IT 66832-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by deprotection of aryloxy acetal derivative with tetraiododiphosphine)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 42 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:175860 CAPLUS Full-text

DOCUMENT NUMBER: 106:175860

TITLE: A mild procedure for hydrolysis of alkoxymethyl aryl ethers to give hydroxyarenes. A rational synthesis of ascofuranone

AUTHOR(S): Saimoto, Hiroyuki; Kusano, Yukari; Hiyama, Tamejiro

CORPORATE SOURCE: Sagami Chem. Res. Cent., Kanagawa, 229, Japan

SOURCE: Tetrahedron Letters (1986), 27(14), 1607-10
CODEN: TELEAY; ISSN: 0040-4039

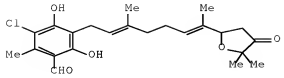
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:175860

GI



I

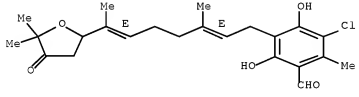
AB A mild procedure is reported for cleavage of alkoxymethyl aryl ethers with P2I4 to afford hydroxyarenes, and this deprotection method was successfully applied to the synthesis of the antibiotic ascofuranone (I).

IT 86832-77-1P, (±)-Ascofuranone
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 43 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:168625 CAPLUS Full-text

DOCUMENT NUMBER: 106:168625

TITLE: Macrophage-specific effect on lipid metabolism by an antibiotic, ascofuranone

AUTHOR(S): Magae, Junji; Nagai, Kazuo; Suzuki, Seikichi; Yamasaki, Makari; Ando, Kunio; Tamura, Gakuzo

CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Journal of Antibiotics (1987), 40(2), 202-8
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ascofuranone (AF) [38462-04-3] enhanced glucose consumption by splenocytes and macrophages, whereas it enhanced incorporation of acetate into macrophages but not into splenocytes. In tumor cell lines, it inhibited the incorporation of [14C]acetate into lymphoma cell lines, YAC-1 and P388, and a thymoma, L5178Y, while it stimulated that into P388D1, which is derived from P388 and has macrophage-like characteristics. Incorporation of [14C]acetate into a mammary carcinoma FM3A was also stimulated by AF. In contrast, AF stimulated uptake of methylglucose in all cell lines tested. The effect of AF was further studied in mouse myeloid leukemia, M1 cells. AF slightly stimulated the incorporation of [14C]acetate into undifferentiated M1 cells, and strongly stimulated it into hydrocortisone-differentiated M1 cells. Glucose consumption by these 3 types of M1 cells was stimulated. Apparently, AF

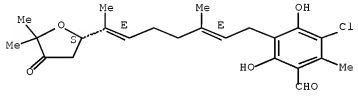
specifically stimulates the incorporation of [14C]acetate into macrophages while it generally stimulates glucose uptake by the cells.

IT 38462-04-2, Ascofuranone
RL: BIOL (Biological study)
(lipid metabolism by macrophages response to, specificity of)

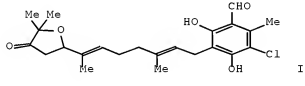
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 44 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:113170 CAPLUS Full-text
DOCUMENT NUMBER: 106:113170
TITLE: Suppression of hypertriglyceridemia of Ehrlich carcinoma-bearing mice by an antibiotic, ascofuranone
AUTHOR(S): Magae, Junji; Hosokawa, Tomoyoshi; Matsuda, Yuko; Hotta, Mitsuyuki; Hayasaki, Junichi; Nagai, Kazuo; Ando, Kunio; Yamasaki, Makari; Tamura, Gakuzo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Cancer Research (1987), 47(1), 96-9
CODEN: CNREA8; ISSN: 0008-5472
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Ehrlich ascites carcinoma-bearing mice exhibit hypertriglyceridemia. An antitumor antibiotic, ascofuranone (I) [38462-04-3], suppressed tumor-induced hypertriglyceridemia when administered i.p., even when no evident antitumor activity was observed, without affecting the levels of free fatty acids, phospholipids, cholesterol, glucose, and total protein in plasma. Ascofuranone did not reduce plasma triglycerides of normal mice. Insulin and clofibrate, known modifiers of lipid metabolism, gave no significant suppression. Ascofuranone was also effective on solid tumor-induced hypertriglyceridemia. Another notable change of metabolism affected by tumor

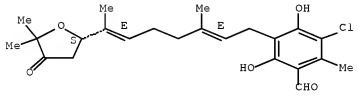
bearing in the early stage where hypertriglyceridemia has not yet fully progressed is hypoglycemia. Although ascofuranone did not affect hypoglycemia, the suppressive effect on hypertriglyceridemia was more evident when ascofuranone was administered in the early stage than in the later stage. These results suggest that ascofuranone suppresses hypertriglyceridemia by specifically affecting the changes of host metabolism which are induced in the early stage of tumor bearing.

IT 38462-04-3, Ascofuranone
RL: BIOL (Biological study)
(neoplasm-induced hypertriglyceridemia suppression by)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

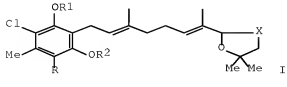


L26 ANSWER 45 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1987:18071 CAPLUS Full-text
DOCUMENT NUMBER:	106:18071
TITLE:	Preparation of benzene derivatives containing six substituents as pharmaceuticals
INVENTOR(S):	Hiyama, Tamejiro; Saimoto, Hiroyuki
PATENT ASSIGNEE(S):	Sagami Chemical Research Center, Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 10 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61152665	A	19860711	JP 1984-274140	19841227 <--
PRIORITY APPLN. INFO.:			JP 1984-274140	19841227 <--

GI



I

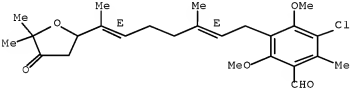
AB The title compds. (I; R = alkoxycarbonyl, hydroxymethyl; R1 and R2 = alkyl; X = CH:C(OCOR3), CH2CH(OH), or CH2CO; R3 = alkyl) are prepared The compds. may be effective in treating hypertension, viral infections, and tumors (no data). Thus, Me 3-bromo-5-chloro-2,4-dimethoxy-6- methylbenzoate was treated with 5-[(E,E)-7-bromo-1,5-dimethylhepta-1,5- dienyl]-2,2-dimethyl-3-pivaloyloxy-2,5-dihydrofuran to give Me 3-chloro-4,6-dimethoxy-5-[(E,E)-7-(5,5-dimethyl-4-pivaloyloxy-2,5-dihydro- 2-furanyl)-3-methyl-2,6-octadienyl]-2-methylbenzoate and Me 3-chloro-4,6-dimethoxy-5-[(E,E)-7-(5,5-dimethyl-4-oxotetrahydro-2-furanyl)- 3-methyl-2,6-octadienyl]-2-methylbenzoate.

IT 105929-14-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as pharmaceutical)

RN 105929-14-4 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dimethoxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



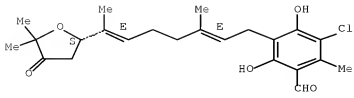
L26 ANSWER 46 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:442517 CAPLUS Full-text
DOCUMENT NUMBER: 105:42517
TITLE: Synthesis of fungal metabolites and related compounds: colletochlorin D, ascofuranone and geiparvarin
AUTHOR(S): Chen, Kau Ming
CORPORATE SOURCE: Univ. Pennsylvania, Pittsburgh, PA, USA
SOURCE: (1985) 296 pp. Avail.: Univ. Microfilms Int., Order No. DA8515356
From: Diss. Abstr. Int. B 1986, 46(7), 2306
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable

IT 38462-04-3P
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of)

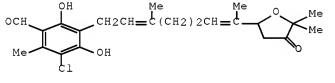
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 47 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:435260 CAPLUS Full-text
DOCUMENT NUMBER: 105:35260
TITLE: Activation of natural cytotoxic activity and concomitant reduction of triglyceride content of murine spleen, treated with an antitumor antibiotic, ascofuranone
AUTHOR(S): Magae, Junji; Hotta, Mitsuyuki; Nagai, Kazuo; Suzuki, Seikichi; Ando, Kunio; Yamasaki, Makari; Tamura, Gakuzo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Journal of Antibiotics (1986), 39(5), 676-81
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

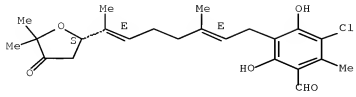
AB Ascofuranone (AF)(I) [38462-04-3] elevated natural cytotoxic activity of spleen when it was administered i.p. to male mice. The elevation was observed both in low and high responder mice. AF-activated splenocytes lysed natural killer (NK) cell-resistant tumor cells, M3A, P388, and sarcoma 180 cells as well as NK-sensitive YAC-1 cells. However, AF suppressed other lymphatic functions such as mitogenic responses and interleukin 2 production Because AF did not activate splenic NK activity in vitro, the activation was assumed to be caused by a host-mediated process. One of the possibilities is modulation of the lipid metabolism of splenocytes. Thus, splenic lipid contents were examined AF decreased splenic triglycerides without affecting other lipids. In contrast, the antibiotic increased triglyceride contents of muscle.

IT 38462-04-3
RL: BIOL (Biological study)
(cytotoxic natural killer cells and triglycerides of spleen response to)

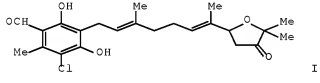
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



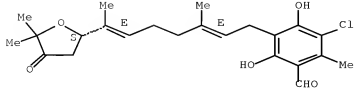
L26 ANSWER 48 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:207464 CAPLUS Full-text
DOCUMENT NUMBER: 104:207464
TITLE: The total synthesis of ascofuranone
AUTHOR(S): Saimoto, Hiroyuki; Hiyama, Tamejiro
CORPORATE SOURCE: Sagami Chem. Res. Cent., Japan
SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1985), 27th, 290-4
CODEN: TYKYDS
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
GI



I

AB A review with 11 refs. on the total synthesis of ascofuranone I, an antitumor hypolipidemic antibiotic isolated from the mycelium of Ascochyta viciae.
IT 38462-04-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

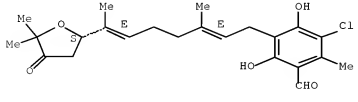


L26 ANSWER 49 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:179819 CAPLUS Full-text
DOCUMENT NUMBER: 104:179819
TITLE: In vitro effects of an antitumor antibiotic, ascofuranone, on the murine immune system
AUTHOR(S): Magae, Junji; Suzuki, Seikichi; Nagai, Kazuo; Yamasaki, Makari; Ando, Kunio; Tamura, Gakuzo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Cancer Research (1986), 46(3), 1073-8
CODEN: CNREA8; ISSN: 0008-5472
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of an antitumor antibiotic, ascofuranone (AF) [38462-04-3] on the murine immune system were studied. Unlike lectins, AF did not induce any proliferative response of splenocytes. Furthermore, AF inhibited proliferative response of splenocytes in response to lectins, such as concanavalin A [11028-71-0], lipopolysaccharide, or phytohemagglutinin above 5 μg/mL. In concanavalin A-induced T-lymphocyte response, AF selectively inhibited the formation of interleukin 2 (IL-2) receptors, which was observed above 0.4 μg/mL. The inhibitory effect on the proliferative response to IL-2 of T-lymphocytes, which had IL-2 receptors, was observed above 10 μg/mL. IL-2 production of splenocytes in response to concanavalin A was also suppressed by AF above 2 μg/mL and only 3% of IL-2 was produced in the presence of AF, 10 μg/mL. However, AF-activated macrophages and their glycolysis was stimulated. Activation of macrophages by AF was also confirmed by stimulation of interleukin 1 production and tumoricidal activity. Natural killer activity of splenocytes was suppressed at the concentration where significant activation of tumoricidal activity of macrophages was observed Therefore, AF had a dual effect on the immune system. Macrophages were activated to produce interleukin 1 and to kill tumor cells. On the other hand, functions of lymphocytes were suppressed.

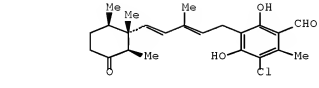
IT 38462-04-3
RL: BIOL (Biological study)
(immune system response to, host-mediated antitumor activity mechanism in relation to)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

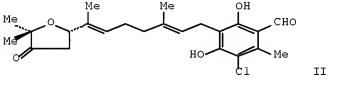


L26 ANSWER 50 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:68654 CAPLUS Full-text

DOCUMENT NUMBER: 104:68654
TITLE: Synthetic microbial chemistry. X. Synthesis of the natural enantiomers of ascochlorin, ascofuranone and ascofuranol
AUTHOR(S): Mori, Kenji; Takechi, Shozo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Tetrahedron (1985), 41(15), 3049-62
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 104:68654
GI



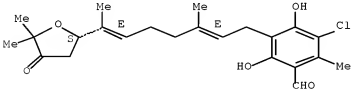
I



II III

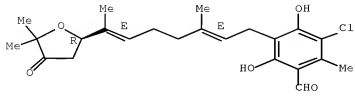
AB The title compds. I-III were prepared together with (+)-ascofurarone and (+)-ascofuranol. The absolute configuration of (-)-ascofuranol (III) was confirmed to be 1''S,4''S.
IT 38462-04-3P 99529-65-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

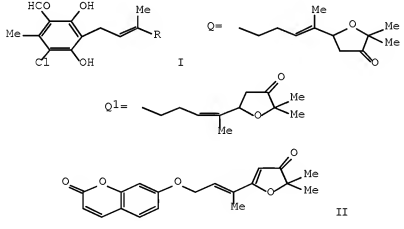


RN 99529-65-4 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [R-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



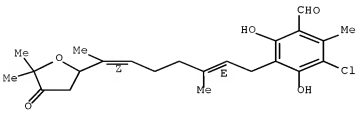
L26 ANSWER 51 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:560720 CAPLUS Full-text
DOCUMENT NUMBER: 103:160720
ORIGINAL REFERENCE NO.: 103:25817a,25820a
TITLE: Total syntheses of fungal metabolites and functionalized furanones
AUTHOR(S): Chen, Kau Ming; Semple, J. Edward; Joullie, Madeleine M.
CORPORATE SOURCE: Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE: Journal of Organic Chemistry (1985), 50(21), 3997-4005
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:160720
GI



AB Fungal metabolites colletochlorin D (I, R = Me) and (±)-ascofuranone (I, R = Q) and its stereoisomers (I, R = Q1) were prepared Moreover, geiparvarin (II) in nine-step and 22% overall yield.
IT 98167-44-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 98167-44-3 CAPLUS

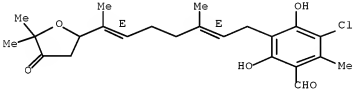
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (Z,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 86832-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)
RN 86832-77-1 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

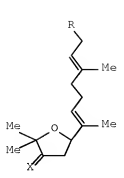
Double bond geometry as shown.



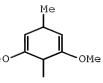
L26 ANSWER 52 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:113756 CAPLUS Full-text
DOCUMENT NUMBER: 102:113756
ORIGINAL REFERENCE NO.: 102:17887a,17890a
TITLE: Ascofuranone-related compounds
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

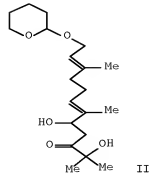
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59148774	A	19840825	JP 1983-21202	19830210 <--
JP 04028705	B	19920515		
PRIORITY APPLN. INFO.:			JP 1983-21202	19830210 <--

GI



I





II

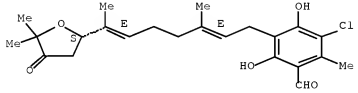
AB Ten ascofuranone-related compds. I (X = O, OCH2CH2O; R = tetrahydropyranyloxy, OH, OAc, Br, Q, etc.) were prepared from the hydroxy ketone II. Thus, stirring 17.5 g II with 2.48 mL MeOH and 72 mg TsOH H2O in (MeO)3CH 8 h at room temperature gave 52.9% I (R = tetrahydropyranyloxy, X = O), which was converted to I [R = 2,3,4,5,6-(HO)(HCO)MeCl(HO)C6, X = OCH2CH2O] in 9 steps.

IT 38462-04-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

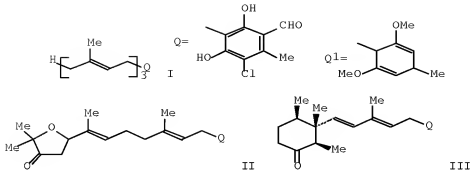
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 53 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:62453 CAPLUS Full-text
DOCUMENT NUMBER: 102:62453
ORIGINAL REFERENCE NO.: 102:9805a,9808a
TITLE: Synthetic microbial chemistry. IV. Synthesis of (±)-ascochlorin, (±)-ascofuranone and LL-Z1272α
AUTHOR(S): Mori, Kenji; Fujioka, Takafumi
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Tetrahedron (1984), 40(14), 2711-20
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



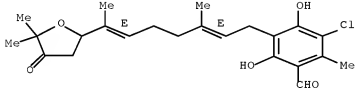
AB LL-Z 1272α (I) was prepared by alkylation of the cyclohexadiene HQ1 with (E,E)-H(CH2CMe:CHCH2)3Br (Q2Br), oxidation-chlorination of the resulting Q2Q1, reduction of 4,6-dichloro-5-methyl-2-Q2-1,3-cyclohexanedione, and formylation of the resulting m-phenylenediol 1,3,2,4,5-(HO)2Q2ClMeC6H. Ascofuranone (II) and ascochlorin (III) were prepared similarly.

IT 86832-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 54 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:6847 CAPLUS Full-text

DOCUMENT NUMBER: 102:6847

ORIGINAL REFERENCE NO.: 102:1242h,1243a

TITLE: A simple total synthesis of (±)-ascofuranone

AUTHOR(S): Chen, Kau Ming; Joullie, Madeleine M.

CORPORATE SOURCE: Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA

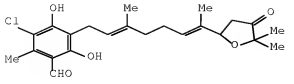
SOURCE: Tetrahedron Letters (1984), 25(35), 3795-6
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

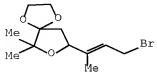
LANGUAGE: English

OTHER SOURCE(S): CASREACT 102:6847

GI



I



II

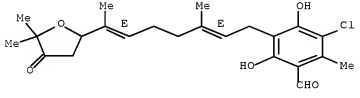
AB (±)-Ascofuranone (I) was prepared in 8 steps from the trioxaspirononane derivative II.

IT 86832-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)

RN 86832-77-1 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 55 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:515682 CAPLUS Full-text

DOCUMENT NUMBER: 99:115682

ORIGINAL REFERENCE NO.: 99:17635a,17638a

TITLE: Effects of an antitumor agent, ascofuranone, on the macromolecular synthesis of intact cells

AUTHOR(S): Magae, Junji; Nagai, Kazuo; Ando, Kunio; Yamasaki, Makari; Tamura, Gakuzo

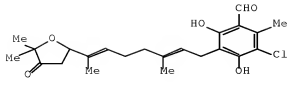
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

SOURCE: Journal of Antibiotics (1983), 36(7), 892-9
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

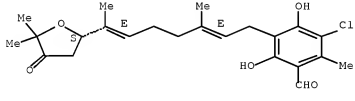
AB Ascofuranone (AF)(I) [38462-04-3] completely prevented the growth of L5178Y at 25 μg/mL. The compound inhibited macromol. syntheses. Protein synthesis was most severely inhibited by AF. AF (even at 2 mg/mL) did not affect protein synthesis by a cell-free system. Although AF inhibited the incorporation of [14C]acetate into the total precipitable products only slightly, the synthetic pattern of simple lipids from [14C]acetate was significantly changed. The incorporation of [14C]acetate into squalene was almost completely blocked at 25 μg/mL. The incorporation of [14C]acetate into triglycerides was inhibited and that into cholesterol [57-88-5] was enhanced, the incorporation of [14C]acetate into diglycerides was enhanced by AF and that of [3H]glycerol was inhibited. The incorporation of [3H]glycerol and [3H]mevalonate into the intact cell was significantly inhibited as compared with [14C]acetate. AF inhibited hypotonic hemolysis. In contrast, hemolysis by deoxycholate was stimulated. Possible mechanism of the antitumor activity of AF is discussed.

IT 38462-04-3
RL: BIOL (Biological study)
(macromol. formation response to, antitumor mechanism in relation to)

RN 38462-04-3 CAPLUS

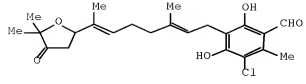
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

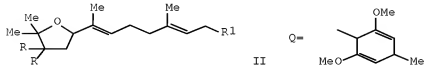


L26 ANSWER 56 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:505029 CAPLUS Full-text
DOCUMENT NUMBER: 99:105029
ORIGINAL REFERENCE NO.: 99:16161a,16164a
TITLE: Synthesis of (±)-ascofuranone, an antibiotic with hypolipidemic and antitumor protective properties
AUTHOR(S): Mori, Kenji; Fujioka, Takafumi
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Tetrahedron Letters (1983), 24(14), 1547-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



I



II

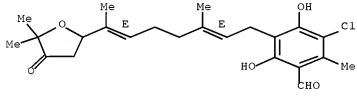
AB The title compound (I), previously isolated from Ascochyta viciae , was prepared in 12 steps from (E,E)-ROCH2CH:CMe(CH2)2CH:CMeCHO (R = tetrahydropyranyl) and Me2C(OH)COMe. The key steps were the cyclization of ROCH2CH:CMe(CH2)2CH:CMeCH(OH)CH2COCMe2OH (R as before) by MeC6H4SO3H in CH(OMe)3 containing MeOH to give 52.9% furanone II (R2 = O, R1 = tetrahydropyranyloxy), and the alkylation of II (R2 = OCH2CH2O, R1 = Br) with 1,5-dimethoxy-3-methyl-1,4-cyclohexadiene to give 33.2% II (R2 as before, R1 = Q).

IT 86832-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(total synthesis of)

RN 86832-77-1 CAPLUS

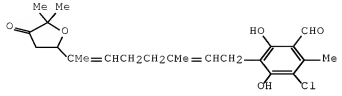
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, (E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 57 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:27453 CAPLUS Full-text
DOCUMENT NUMBER: 98:27453
ORIGINAL REFERENCE NO.: 98:4177a,4180a
TITLE: Antitumor protective property of an isoprenoid antibiotic, ascofuranone
AUTHOR(S): Magae, Junji; Hosokawa, Tomoyoshi; Ando, Kunio; Nagai, Kazuo; Tamura, Gakuzo
CORPORATE SOURCE: Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan
SOURCE: Journal of Antibiotics (1982), 35(11), 1547-52
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

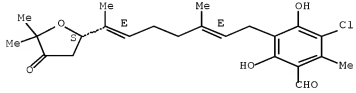
AB ascofuranone (AF)(I) [38462-04-3] showed an antitumor protective effect against L-1210 leukemia when AF was administered once 7 days before tumor challenge. However, the effect was not elicited when host mice were treated with AF simultaneously with tumor challenge. AF pretreatment on day 7, 5 and 3 before tumor challenge protected the host from the ascites form of S-180. AF also retarded tumor growth when administered once daily for 5 consecutive days 24 h after transplantation, but antitumor effect was not seen with combined treatments before and after the transplantation. Similar results were noted with Ehrlich ascites carcinoma. AF treatment of normal mice enlarged the solid lymphoid organs without affecting body weight gain. The splenocytes derived from AF-treated mice lowered mitogenic response to phytohemagglutinin, while the mitogenic response to concanavalin A and lipopolysaccharide was unaffected.

IT 38462-04-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibition by, immunity in relation to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

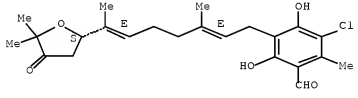
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 58 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:509754 CAPLUS Full-text
DOCUMENT NUMBER: 97:109754
ORIGINAL REFERENCE NO.: 97:18249a,18252a
TITLE: Approaches toward the total synthesis of ascofuranone and colletochlorin D

AUTHOR(S): Guthrie, Anne Elizabeth
CORPORATE SOURCE: Univ. Pennsylvania, Philadelphia, PA, USA
SOURCE: (1981) 176 pp. Avail.: Univ. Microfilms Int., Order No. DA8207969
From: Diss. Abstr. Int. B 1982, 42(12, Pt. 1), 4793
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT 38462-04-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

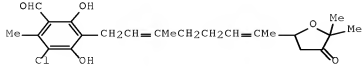
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 59 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:504224 CAPLUS Full-text
DOCUMENT NUMBER: 97:104224
ORIGINAL REFERENCE NO.: 97:17203a,17206a
TITLE: Ascofuranone as a neoplasm inhibitor
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57088119	A	19820601	JP 1980-162621	19801120 <--
JP 63061929	B	19881130		
PRIORITY APPLN. INFO.:			JP 1980-162621	19801120 <--

GI



I

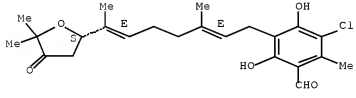
AB ascofuranone (I) [38462-04-3] is a neoplasm inhibitor. Thus, pretreatment of mice with I (300 mg/kg, i.p.) inhibited the growth of leukemia L-1210 cells and prolonged the animal's survival by 75%.

IT 38462-04-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm inhibitor)

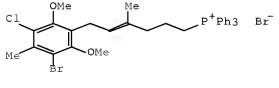
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 60 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:217555 CAPLUS Full-text
DOCUMENT NUMBER: 96:217555
ORIGINAL REFERENCE NO.: 96:35940h,35941a
TITLE: Synthetic studies of fungal metabolites: ascofuranone and colletochlorin D
AUTHOR(S): Guthrie, Anne A.; Semple, J. Edward; Joullie, Madeleine M.
CORPORATE SOURCE: Dep. Chem., Univ. Pennsylvania, Philadelphia, PA, 19104, USA
SOURCE: Journal of Organic Chemistry (1982), 47(12), 2369-76
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Procedures have been developed for the synthesis of hexasubstituted aromatic rings which are present in many fungal metabolites, such as ascofuranone and

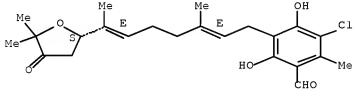
collectochlorin D. (3-Bromo-5-chloro-2,6-dimethoxy-p- tolyl)acetaldehyde was synthesized from orcinol in 8 steps. This aldehyde was converted to 2-bromo-6-chloro-3,5-dimethoxy-4-(3-methyl-2- butenyl)toluene which was formylated to afford 3-chloro-4,6-dimethoxy-2- methyl-5-(3-methyl-2-butenyl)benzaldehyde. Although various demethylation procedures were tried, demethylation of both methoxy groups could not be accomplished. In an attempt to synthesize ascofuranone, (3-bromo-5-chloro-2,6-dimethoxy-p-tolyl)acetaldehyde was treated with isopropenylmagnesium bromide to afford an unstable allylic alc. which was immediately subjected to the conditions of the orthoacetate Claisen rearrangement to give 2-bromo-6-chloro-4-[(E)-5-(ethoxycarbonyl)-3-methyl- 2-pentenyl-3,5-dimethoxytoluene. This compound was then converted to I in 3 steps. All attempts to carry out a Wittig reaction between I and 8-acetyl-6,6-dimethyl-1,4,7-trioxaspiro[4.4]nonane failed. Other coupling methods were equally unsuccessful.

IT 38462-04-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(attempted preparation of)

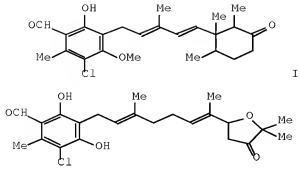
RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 61 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:167655 CAPLUS Full-text
DOCUMENT NUMBER: 94:167655
ORIGINAL REFERENCE NO.: 94:27250h,27251a
TITLE: Unusual concentration of urine and prevention of polydipsia by fungal prenylphenols in DOCA hypertensive rats
AUTHOR(S): Hosokawa, Tomoyoshi; Okutomi, Tsuneo; Sawada, Mikio; Ando, Kunio; Tamura, Gakuzo
CORPORATE SOURCE: Fac. Agric., Univ. Tokyo, Tokyo, Japan
SOURCE: European Journal of Pharmacology (1981), 69(4), 429-38
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

II

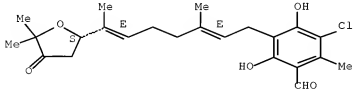
AB When deoxycorticosterone acetate (DOCA) [38561-40-9]-loaded uninephrectomized rats were fed on standard laboratory pellet diet and 1% saline for 5 wk, caloric homeostasis became abnormal resulting in hyperlipidemia, cholesterol deposit in the heart, significant reduction of triglycerides in the aorta, heart, and liver and a 60% increase in the cardiac free fatty acids on the one hand and a 50% reduction of the hepatic FFA on the other. These facts suggest that the hypertension severely reduces hepatic lipogenesis, whereas the cardiovascular system depends much more on FFA as a metabolic fuel than on glucose. This idea is supported by the deficiency in total body K and decrease in serum immunoreactive insulin which occur in the hypertension. These alterations were attenuated by the fungal prenylphenols, 4-O-methylascochlorin (I) [38561-40-9] and ascofuranone (II) [38462-04-3]. The protective effect seems to be partly attributable to counteracting the action of DOCA. In addition, the agents caused a specific increase of renal water reabsorption. I treatment resulted in a particularly marked reduction of saline intake and excretion of unusually thick urine with 2.8 times higher Na concentration than in the DOCA/saline control rats.

IT 38462-04-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(polydipsia and urine excretion response to, in hypertension)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

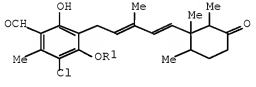


L26 ANSWER 62 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:96316 CAPLUS Full-text
DOCUMENT NUMBER: 94:96316

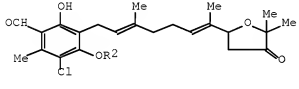
ORIGINAL REFERENCE NO.: 94:15579a,15582a
TITLE: Ascochlorin and ascofuranone derivatives for the acceleration of copper excretion
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55113712	A	19800902	JP 1979-19693	19790223 <--
PRIORITY APPLN. INFO.:			JP 1979-19693 A	19790223 <--

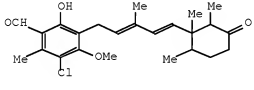
GI



I



II



III

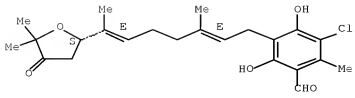
AB The title compds. I and II where R1 = lower alkyl and R2 = lower alkyl or acyl groups are effective in increasing Cu excretion. Thus, 4-O-methylascochlorin (III) [38561-40-9] (100 mg/kg, orally) given to rats pretreated with CuCl2 (100 mg/kg, orally) decreased Cu contents in blood serum and liver to 124 μg/dL and 25.3 μg/g, resp., from 132 μg/dL and 10.9 μg/g of the control rats untreated with III.

IT 38462-04-3
RL: BIOL (Biological study)
(copper metabolic disorder treatment with)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

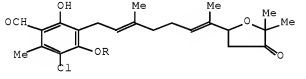
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 63 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1978:510056 CAPLUS Full-text
DOCUMENT NUMBER: 89:110056
ORIGINAL REFERENCE NO.: 89:16977a,16980a
TITLE: An ascorfuranone derivative and process for preparing the same
INVENTOR(S): Okada, Masashi
PATENT ASSIGNEE(S): Japan
SOURCE: Brit., 5 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1498334	A	19780118	GB 1976-11305	19760319 <--
PRIORITY APPLN. INFO.:			GB 1976-11305 A	19760319 <--

GI



I

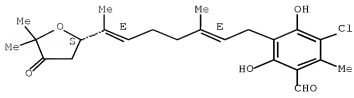
AB The preparation is described of 4-O-acylascofuranones I (R = acyl). Thus, I (R = Ac, nicotinoyl), which have hypotensive activity, were prepared from I (R = H) by treatment with Ac2O and nicotinic chloride.HCl, resp., in pyridine or pyridine-C6H6 at room temperature (3 h or overnight). The hypotensive activity of I (R = Ac) was assessed in rats.

IT 38462-04-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)

RN 38462-04-3 CAPLUS

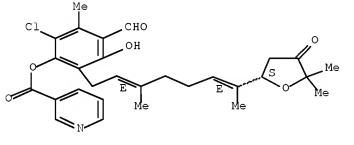
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 60217-10-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and hypotensive activity of)
RN 60217-10-9 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

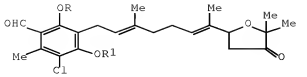
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 64 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:494217 CAPLUS Full-text
DOCUMENT NUMBER: 85:94217
ORIGINAL REFERENCE NO.: 85:15089a,15092a
TITLE: Ascofuranone derivatives
INVENTOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Okutomi, Tsuneo
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51036450	A	19760327	JP 1974-107697	19740920 <--
PRIORITY APPLN. INFO.:			JP 1974-107697 A	19740920 <--

GI



I, R=R1=H
II, R=R2, R1=R3

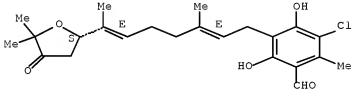
AB Alkylation or acylation of ascofuranone (I; R = R1 = H) (II) gave the acyl or alkylascofuranones I (R,R1 = H, alkyl, acyl). I had antihypertensive activity (no data). In an example, a mixture of II 404, K2CO3 300, and EtI 800 mg in Me2CO was refluxed 2 hr to give 300 mg I (R = H, R1 = Et). Addnl. I prepared were (R and R1 given): Me, Me; H, Ac; H, nicotinoyl.

IT 38462-04-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation and alkylation of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

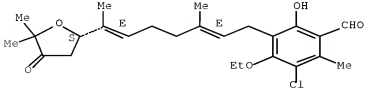


IT 55968-32-6P 60217-08-5P 60217-10-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 55968-32-6 CAPLUS

CN Benzaldehyde, 3-chloro-4-ethoxy-6-hydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

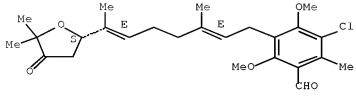


RN 60217-08-5 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dimethoxy-2-methyl-5-[3-methyl-7-(tetrahydro-

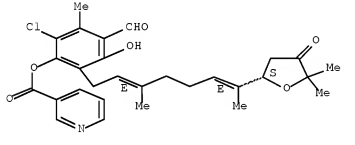
5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 60217-10-9 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-chloro-4-formyl-5-hydroxy-3-methyl-6-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadienyl]phenyl ester (9CI) (CA INDEX NAME)

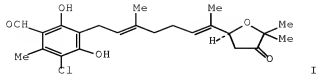
Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 65 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:476344 CAPLUS Full-text
DOCUMENT NUMBER: 85:76344
ORIGINAL REFERENCE NO.: 85:12295a,12298a
TITLE: Antibiotic ascofuranone from Ascochyta viciae
INVENTOR(S): Sasaki, Hiroshi; Okutomi, Tsuneo; Hosokawa, Tomoyoshi; Nawata, Yoshiharu; Ando, Kunio
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: Can., 18 pp.
CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 986865	A1	19760406	CA 1973-172532	19730525 <--
PRIORITY APPLN. INFO.:			CA 1973-172532 A	19730525 <--

GI



I

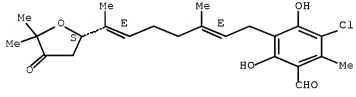
AB The process for production of ascofuranone (I) [38462-04-3] by the aerobic culturing of Ascochyta viciae is described. This antibiotic has antiviral, anti-tumor, hypotensive, and hypolipidemic properties. A. viciae was inoculated to a medium containing glucose 3.0, glycerol 1.0, peptone 0.5, corn steep liquor 0.2, NH4Cl 0.1, KH2PO4 0.06, MgSO4 0.04, and CaCO3 1.0% and incubated for 96 hr at 27° with aeration and stirring. Ten l. of acetone was added to the filtered mycelium and extraction proceeded overnight. The extract was concentrated, adjusted to pH 3.0 with dilute HCl, and extracted twice with hexane. The extract was dried, concentrated, and I crystallized

IT 38462-04-3
RL: BIOL (Biological study)
(a new antibiotic)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 66 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:471740 CAPLUS Full-text
DOCUMENT NUMBER: 83:71740
ORIGINAL REFERENCE NO.: 83:11217a,11220a
TITLE: Effect of ascofuranone on serum lipids of rats fed a cholesterol rich diet
AUTHOR(S): Hosokawa, Tomoyoshi; Suzuki, Koji; Okutomi, Tsuneo; Sawada, Mikio; Ando, Kunio
CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan
SOURCE: Japanese Journal of Pharmacology (1975), 25(1), 35-9
CODEN: JJPAAZ; ISSN: 0021-5198
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Ascofuranone (I) [38462-04-3] administered orally (20 mg/day for 10 consecutive days) to rats receiving a cholesterol-rich diet decreased serum

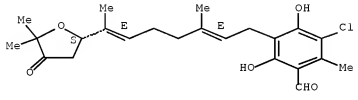
lipid levels and cardiac and hepatic cholesterol contents without affecting the body weight gain. The serum albumin/globulin ratio increased after I administration. This increase may be due to the decrease of β-lipoprotein.

IT 38462-04-3
RL: BIOL (Biological study)
(blood lipids response to)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



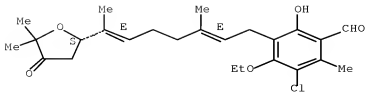
L26 ANSWER 67 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:469452 CAPLUS Full-text
DOCUMENT NUMBER: 83:69452
ORIGINAL REFERENCE NO.: 83:10853a,10856a
TITLE: Molecular structure of 4-O-ethyl ascofuranone
AUTHOR(S): Ando, Kunio; Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Nawata, Yoshiharu; Iitaka, Yoichi
CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co. Ltd., Tokyo, Japan
SOURCE: Tetrahedron Letters (1975), (11), 887-90
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The structure of the title compound (I) was determined by x-ray anal. Crystals are orthorhombic, space group P212121, with a 11.722, b 34.629, c 6.121, and Z = 4. The structure was solved by the multisoln. method and refined by least-squares to R 0.06. The absolute configuration of I was determined by Bijovet's method.

IT 55968-32-6
RL: PRP (Properties)
(crystal structure of)

RN 55968-32-6 CAPLUS

CN Benzaldehyde, 3-chloro-4-ethoxy-6-hydroxy-2-methyl-5-[3-methyl-7-(tetrahydro-5,5-dimethyl-4-oxo-2-furanyl)-2,6-octadienyl]-, [S-(E,E)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

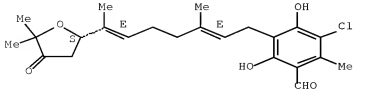


L26 ANSWER 68 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:144971 CAPLUS Full-text
DOCUMENT NUMBER: 82:144971
ORIGINAL REFERENCE NO.: 82:23131a,23134a
TITLE: Antihypertensive ascofuranone preparations
INVENTOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Okutomi, Tsuneo; Nawata, Yoshiharu; Ando, Kunio
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd.
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2425308	A1	19741219	DE 1974-2425308	19740524 <--
US 3873529	A	19750325	US 1973-364033	19730525 <--
GB 1465771	A	19770302	GB 1974-22748	19740521 <--
FR 2230344	A1	19741220	FR 1974-18264	19740527 <--
US 3962455	A	19760608	US 1974-526175	19741122 <--

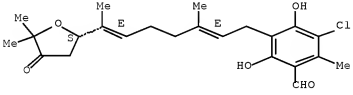
PRIORITY APPLN. INFO.: US 1973-364033 A 19730525 <--
GI For diagram(s), see printed CA Issue.
AB Formulations for capsules, powders, and tablets containing the antibiotic ascofuranone (I) [38462-04-3] were reported. I had antihypertensive effects in rats on oral or i.p. administration. Thus, tablets were prepared from I 100, lactose 210, cellulose 72, corn starch 14, and Mg stearate 4 g.
IT 38462-04-3
RL: BIOL (Biological study)
(antihypertensive)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 69 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:15180 CAPLUS Full-text
DOCUMENT NUMBER: 82:15180
ORIGINAL REFERENCE NO.: 82:2441a,2444a
TITLE: Isolation and structure of ascochlorin and its analogs
AUTHOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Nawata, Yoshiharu; Ando, Kunio
CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan
SOURCE: Agricultural and Biological Chemistry (1974), 38(8), 1463-6
CODEN: ABCHA6; ISSN: 0002-1369
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Ascochlorin (I) and its analogs were isolated from the filter cake of the fermented broth of a fungus, Ascochyta viciae; the compds. obtained were I, LL-Z1272δ (II), LL-Z1272ε (III), ascofuranone (IV), ascofuranol (V), and a new analog (VI). Some of these prenyl phenols show hypolipidemic activity in both normolipidemic and hyperlipidemic rats. Details of the structure determination for ascochlorin are presented.
IT 38462-04-3
RL: FORM (Formation, nonpreparative)
(formation of, by Ascochyta viciae)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 70 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:409729 CAPLUS Full-text
DOCUMENT NUMBER: 81:9729
ORIGINAL REFERENCE NO.: 81:1557a,1560a
TITLE: Hypolipidemic property of ascofuranone
AUTHOR(S): Sawada, Mikio; Hosokawa, Tomoyoshi; Okutomi, Tsueno; Ando, Kunio
CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan
SOURCE: Journal of Antibiotics (1973), 26(11), 681-6
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Ascofuranone [38462-04-3], isolated from the filter cake of the fermented broth of the fungus Ascochyta viciae, significantly decreased serum lipid levels in rats fed normal diet, 6 hr after oral administration of 108 mg/kg.

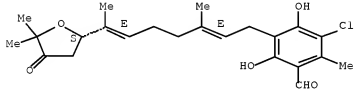
The antibiotic given orally to normolipidemic rats for 10 consecutive days, caused a marked decrease in serum cholesterol [57-88-5], triglycerides, phospholipids, and free fatty acids without affecting organ weight gain, serum protein, albumin/globulin ratio, and serum transaminase [9031-66-7]. Heart cholesterol content was also lowered, but liver total sterol and fecal sterol excretion remained unchanged. Acute toxicity of ascofuranone was low in mice and rats, and unlike Clofibrate, it did not induce hepatomegaly.

IT 38462-04-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(hypolipidemic activity of)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 71 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:94259 CAPLUS Full-text
DOCUMENT NUMBER: 80:94259
ORIGINAL REFERENCE NO.: 80:15171a,15174a
TITLE: Ascofuranone, an antibiotic
INVENTOR(S): Hosokawa, Tomoyoshi; Okutomi, Tsuneo; Sasaki, Hiroshi
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48091278	A	19731128	JP 1972-22820	19720307 <--
JP 56025310	B	19810611		

PRIORITY APPLN. INFO.: JP 1972-22820 A 19720307 <--

AB Ascofuranone (I), with antivirus and anticancer activities, was produced by A. viciae (FERM-P 129). I accumulated in the mycelium was extracted with an organic solvent miscible with H2O (e.g. MeOH, EtOH, or Me2CO) and then with EtOAc or C6H14, and purified by silica gel chromatog. I had a mol. weight of 420, mol. formula of C23H29O5Cl, and m.p. of 84°, was fat soluble, had crystalline needles, was insol. in H2O and soluble in EtOAc, C6H6, CHCl3, Me2CO, MeOH, EtOH, and C6H14 and gave pos. Beilstein and FeCl3 reactions. Rf value on a silica gel thin-layer chromatog. was 0.42. I had no action against bacteria, yeasts, or molds. A. viciae was cultured aerobically in a medium

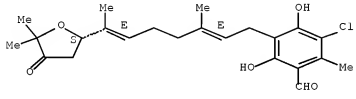
containing glucose 5, peptone 0.5, yeast extract 0.2, NH4Cl 0.1, KH2PO4 0.06, MgSO4 0.04 and CaCO3 1.0% at 27° for 96 hr.

IT 38462-04-2
RL: BIOL (Biological study)
(antibiotic)

RN 38462-04-3 CAPLUS

CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



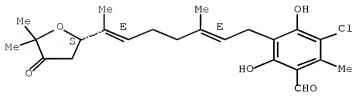
L26 ANSWER 72 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:56781 CAPLUS Full-text
DOCUMENT NUMBER: 80:56781
ORIGINAL REFERENCE NO.: 80:9213a,9216a
TITLE: Isolation and structure of ascofuranone and ascofranol, antibiotics with hypolipidemic activity
AUTHOR(S): Sasaki, Hiroshi; Hosokawa, Tomoyoshi; Sawada, Mikio; Ando, Kunio
CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan
SOURCE: Journal of Antibiotics (1973), 26(11), 676-80
CODEN: JANTAJ; ISSN: 0021-8820
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A new antibiotic with hypolipidemic activity, ascofuranone, C23H29ClO5 (I) and a related substance, ascofuranol, C23H31ClO5 (II) were isolated from the filter cake of the fermented broth of Ascochyta viciae, an ascochlorin-producing fungus. The structures possess a 3-substituted-5-chloro-orcylaldehyde moiety with novel sesquiterpenyl side chains.

IT 38462-04-3
RL: BIOL (Biological study)
(of Ascochyta viciae, structure of)

RN 38462-04-3 CAPLUS

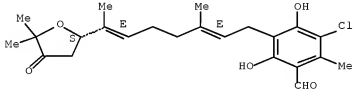
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L26 ANSWER 73 OF 73 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1972:526880 CAPLUS Full-text
DOCUMENT NUMBER: 77:126880
ORIGINAL REFERENCE NO.: 77:20905a,20908a
TITLE: Ascofuranone, a new antibiotic from Ascochyta viciae
AUTHOR(S): Sasaki, H.; Okutomi, T.; Hosokawa, T.; Nawata, Y.; Ando, K.
CORPORATE SOURCE: Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, Japan
SOURCE: Tetrahedron Letters (1972), (25), 2541-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Antibiotic ascofuranone (I) was isolated from the fermented broth of A. viciae. It gave pos. Beilstein and FeCl3 reactions and was reduced by NaBH4. The structure of I was deduced on the basis of its ir, NMR, and mass spectra.
IT 38462-04-3P
RL: PREP (Preparation)
(from Ascochyta viciae, structure of)
RN 38462-04-3 CAPLUS
CN Benzaldehyde, 3-chloro-4,6-dihydroxy-2-methyl-5-[(2E,6E)-3-methyl-7-[(2S)-tetrahydro-5,5-dimethyl-4-oxo-2-furanyl]-2,6-octadien-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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D SCA
L3 61 SEA SSS FUL L1

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     FILE 'CAPLUS' ENTERED AT 16:43:25 ON 27 DEC 2007
L4          150 SEA ABB=ON  PLU=ON  L3
L5          129 SEA ABB=ON  PLU=ON  L4 AND (PY<2004 OR PRY<2004 OR AY<2004)
L6              ANALYZE PLU=ON  L5 1-129 RN :    2026 TERMS
                D

     FILE 'REGISTRY' ENTERED AT 16:45:24 ON 27 DEC 2007
L7            1 SEA ABB=ON  PLU=ON  38462-04-3
                D SCA
L8           60 SEA ABB=ON  PLU=ON  L3 NOT L7

     FILE 'CAPLUS' ENTERED AT 16:45:42 ON 27 DEC 2007
L9           94 SEA ABB=ON  PLU=ON  L8
L10          79 SEA ABB=ON  PLU=ON  L9 AND (PY<2004 OR PRY<2004 OR AY<2004)
L11             ANALYZE PLU=ON  L10 1-79 RN :     904 TERMS
                D

     FILE 'REGISTRY' ENTERED AT 16:46:15 ON 27 DEC 2007
L12           1 SEA ABB=ON  PLU=ON  25555-57-1
                D SCA
L*** DEL     34 S LL8 NOT L12
L13          59 SEA ABB=ON  PLU=ON  L8 NOT L12

     FILE 'CAPLUS' ENTERED AT 16:46:49 ON 27 DEC 2007
L14          72 SEA ABB=ON  PLU=ON  L13
L15          62 SEA ABB=ON  PLU=ON  L14 AND (PY<2004 OR PRY<2004 OR AY<2004)
L16             ANALYZE PLU=ON  L15 1-62 RN :     768 TERMS
                D

     FILE 'REGISTRY' ENTERED AT 16:47:27 ON 27 DEC 2007
L*** DEL      1 S 26166-39-2
                D SCA
L*** DEL     59 S L13 NOT L17
L17           0 SEA ABB=ON  PLU=ON  L7 AND (PY<2004 OR PRY<2004 OR AY<2004)
                NOT L15

     FILE 'CAPLUS' ENTERED AT 16:48:38 ON 27 DEC 2007
L18          50 SEA ABB=ON  PLU=ON  L7 AND (PY<2004 OR PRY<2004 OR AY<2004)
                NOT L15
L19          17 SEA ABB=ON  PLU=ON  L12 AND (PY<2004 OR PRY<2004 OR AY<2004)
                NOT L15

     FILE 'REGISTRY' ENTERED AT 16:50:06 ON 27 DEC 2007
L20             STR
L21             STR L1
L22             STR L20
L23           0 SEA SSS SAM L21 AND L22
L24          43 SEA SSS FUL L21 AND L22

     FILE 'CAPLUS' ENTERED AT 17:00:04 ON 27 DEC 2007
L25          81 SEA ABB=ON  PLU=ON  L24
L26          73 SEA ABB=ON  PLU=ON  L25 AND (PY<2004 OR PRY<2004 OR AY<2004)

     FILE 'CAPLUS' ENTERED AT 17:00:25 ON 27 DEC 2007
                D QUE L26
                D L26 IBIB ABS HITSTR TOT
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